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\* \* \* \* \* Welcome to STN International \* \* \* \* \*

NEWS	1		Web Page for STN Seminar Schedule - N. America
NEWS	2	APR 04	STN AnaVist, Version 1, to be discontinued
NEWS	3	APR 15	WPIDS, WPINDEX, and WPIX enhanced with new predefined hit display formats
NEWS	4	APR 28	EMBASE Controlled Term thesaurus enhanced
NEWS	5	APR 28	IMSRESEARCH reloaded with enhancements
NEWS	6	MAY 30	INPAFAMDB now available on STN for patent family searching
NEWS	7	MAY 30	DGENE, PCTGEN, and USGENE enhanced with new homology sequence search option
NEWS	8	JUN 06	EPFULL enhanced with 260,000 English abstracts
NEWS	9	JUN 06	KOREAPAT updated with 41,000 documents
NEWS	10	JUN 13	USPATFULL and USPAT2 updated with 11-character patent numbers for U.S. applications
NEWS	11	JUN 19	CAS REGISTRY includes selected substances from web-based collections
NEWS	12	JUN 25	CA/CAPLUS and USPAT databases updated with IPC reclassification data
NEWS	13	JUN 30	AEROSPACE enhanced with more than 1 million U.S. patent records
NEWS	14	JUN 30	EMBASE, EMBAL, and LEMBASE updated with additional options to display authors and affiliated organizations
NEWS	15	JUN 30	STN on the Web enhanced with new STN AnaVist Assistant and BLAST plug-in
NEWS	16	JUN 30	STN AnaVist enhanced with database content from EPFULL
NEWS	17	JUL 28	CA/CAPLUS patent coverage enhanced
NEWS	18	JUL 28	EPFULL enhanced with additional legal status information from the epline Register
NEWS	19	JUL 28	IFICDB, IFIPAT, and IFIUDB reloaded with enhancements
NEWS	20	JUL 28	STN Viewer performance improved
NEWS	21	AUG 01	INPADOCDB and INPAFAMDB coverage enhanced
NEWS	22	AUG 13	CA/CAPLUS enhanced with printed Chemical Abstracts page images from 1967-1998
NEWS	23	AUG 15	CAOLD to be discontinued on December 31, 2008
NEWS	24	AUG 15	CAPLUS currency for Korean patents enhanced
NEWS	25	AUG 25	CA/CAPLUS, CASREACT, and IFI and USPAT databases enhanced for more flexible patent number searching
NEWS	26	AUG 27	CAS definition of basic patents expanded to ensure comprehensive access to substance and sequence information

NEWS EXPRESS JUNE 27 08 CURRENT WINDOWS VERSION IS V8.3,  
AND CURRENT DISCOVER FILE IS DATED 23 JUNE 2008.

NEWS HOURS STN Operating Hours Plus Help Desk Availability

NEWS LOGIN      Welcome Banner and News Items  
NEWS IPC8        For general information regarding STN implementation of IPC 8

Enter NEWS followed by the item number or name to see news on that specific topic.

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\* \* \* \* \* STN Columbus \* \* \* \* \*

FILE 'HOME' ENTERED AT 10:06:50 ON 08 SEP 2008

=> file reg		
COST IN U.S. DOLLARS	SINCE FILE	TOTAL
	ENTRY	SESSION
FULL ESTIMATED COST	0.21	0.21

FILE 'REGISTRY' ENTERED AT 10:06:59 ON 08 SEP 2008  
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STRUCTURE FILE UPDATES:    7 SEP 2008    HIGHEST RN 1047406-12-1  
DICTIONARY FILE UPDATES:   7 SEP 2008    HIGHEST RN 1047406-12-1

New CAS Information Use Policies, enter HELP USAGETERMS for details.

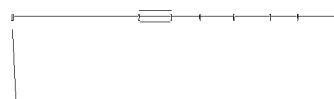
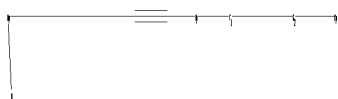
TSCA INFORMATION NOW CURRENT THROUGH July 5, 2008.

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REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

<http://www.cas.org/support/stngen/stndoc/properties.html>

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Uploading C:\Program Files\STNEXP\Queries\10596745s4.str



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chain bonds :
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exact bonds :
2-3
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G1:C,O,N

G2:C,O,N

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Match level :
1:CLASS 2:CLASS 3:CLASS 4:Atom 6:CLASS 7:CLASS 9:CLASS 10:Atom 11:Atom
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Node 11: Limited
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      N,N2
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L1        STRUCTURE UPLOADED

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SAMPLE SCREEN SEARCH COMPLETED -    15377 TO ITERATE
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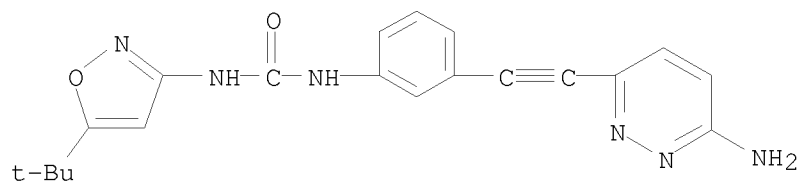
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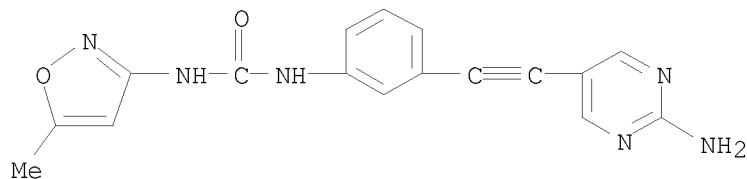
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\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

L2 2 ANSWERS REGISTRY COPYRIGHT 2008 ACS on STN  
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MF C17 H14 N6 O2



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

ALL ANSWERS HAVE BEEN SCANNED

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L3 225 SEA SSS FUL L1

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FULL ESTIMATED COST

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FILE 'CAPLUS' ENTERED AT 10:07:46 ON 08 SEP 2008

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FILE COVERS 1907 - 8 Sep 2008 VOL 149 ISS 11  
FILE LAST UPDATED: 7 Sep 2008 (20080907/ED)

Caplus now includes complete International Patent Classification (IPC) reclassification data for the second quarter of 2008.

Effective October 17, 2005, revised CAS Information Use Policies apply. They are available for your review at:

<http://www.cas.org/legal/infopolicy.html>

=> s 13

L4 5 L3

=> d ibib abs hitstr 1-5

L4 ANSWER 1 OF 5 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2006:792996 CAPLUS

DOCUMENT NUMBER: 145:211064

TITLE: Preparation of pyrimidine derivatives and their use as Tie2 receptor tyrosine kinase inhibitors  
INVENTOR(S): Jones, Clifford David; Luke, Richard William Arthur; Mccoull, William

PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Astrazeneca Uk Limited

SOURCE: PCT Int. Appl., 116pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

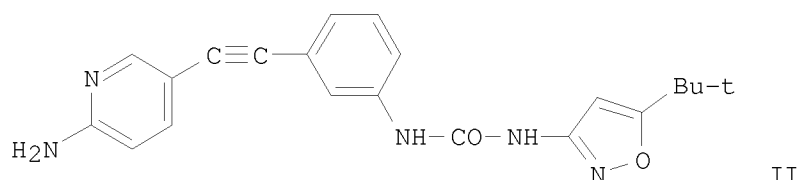
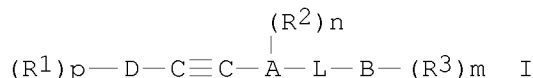
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

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RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
EP 1848715	A1	20071031	EP 2006-709603	20060202

R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,  
 IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR  
 JP 2008535780 T 20080904 JP 2007-553692 20060202  
 IN 2007DN05606 A 20070817 IN 2007-DN5606 20070719  
 US 20080153838 A1 20080626 US 2007-815523 20070803  
 CN 101155807 A 20080402 CN 2006-80011279 20071008  
 PRIORITY APPLN. INFO.: GB 2005-2418 A 20050205  
 WO 2006-GB352 W 20060202  
 OTHER SOURCE(S): CASREACT 145:211064; MARPAT 145:211064  
 GI



AB Substituted heterocyclic and heteroaryl derivs. I, wherein A is an aryl or 5 or 6 membered heteroaryl ring; B is a cycloalkyl 3 to 7 membered heterocycle, aryl, 5 or 6 membered heteroaryl or an 8-10 membered bicycle; D is a 5 or 6 membered nitrogen containing heteroaryl optionally substituted by oxygen, nitrogen or sulfur atoms; L is attached meta or para on the ethynyl group of A by a (un)substituted amide, (un)substituted carbamate, sulfonate, sulfonamide, a direct bond, or bound to an O or an (un)substituted N; R1 is H, hydroxy, (un)substituted alkyl, (un)substituted alkoxy, (un)substituted cycloalkyl, (un)substituted heteroaryl or heterocyclic ring, (un)substituted amine; R2 is halo, cyano, alkoxy, cyclopropyl, alkyl, where the alkoxy or alkyl groups are optionally substituted by cyano or 1 or more fluoro groups; L is meta or para attached by an (un)substituted amide, (un)substituted amine, alkyl group; R3 is halo, cyano, oxo, cycloalkyl, 3 to 7 membered heterocycle; m, n, p are 0-3 are prepared and used as as medicaments and in the production of

an anti-angiogenic effect in a warm blooded animal. Thus, II was prepared and tested as an in vitro inhibitor of the Tie2 receptor tyrosine kinase and in the inhibition of autophosphorylation of Tie2 receptor tyrosine kinase (IC50 are 2.6 and 0.031  $\mu$ M resp.). Further, I can be used in the treatment of cancer and as antineoplastic prodrugs.

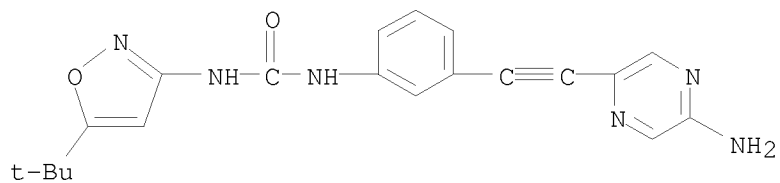
IT 905286-90-0P 905286-92-2P 905286-95-5P  
 905286-96-6P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of pyrimidine derivs. and their use as Tie2 receptor tyrosine kinase inhibitors)

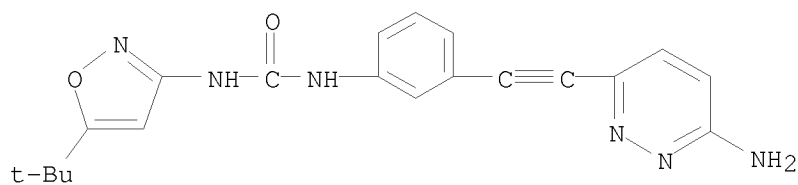
RN 905286-90-0 CAPLUS

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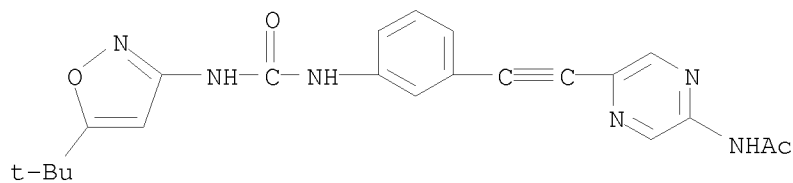
RN 905286-92-2 CAPLUS

CN Urea, N-[3-[2-(6-amino-3-pyridazinyl)ethynyl]phenyl]-N'-[5-(1,1-dimethylethyl)-3-isoxazolyl]- (CA INDEX NAME)



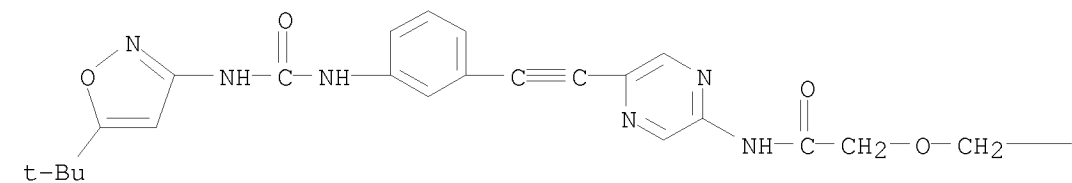
RN 905286-95-5 CAPLUS

CN Acetamide, N-[5-[2-[3-[[[5-(1,1-dimethylethyl)-3-isoxazolyl]amino]carbonyl]amino]phenyl]ethynyl]-2-pyrazinyl]- (CA INDEX NAME)



RN 905286-96-6 CAPLUS

CN Acetamide, N-[5-[2-[3-[[[5-(1,1-dimethylethyl)-3-isoxazolyl]amino]carbonyl]amino]phenyl]ethynyl]-2-pyrazinyl]-2-(2-methoxyethoxy)- (CA INDEX NAME)



PAGE 1-A

PAGE 1-B

—CH<sub>2</sub>—OMe

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 2 OF 5 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2006:790854 CAPLUS

DOCUMENT NUMBER: 145:230644

TITLE: Preparation of pyrimidine derivatives and their use as Tie2 receptor tyrosine kinase inhibitors

INVENTOR(S): Jones, Clifford David; Luke, Richard William Arthur; Mccoull, William

PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Astrazeneca Uk Limited

SOURCE: PCT Int. Appl., 168pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

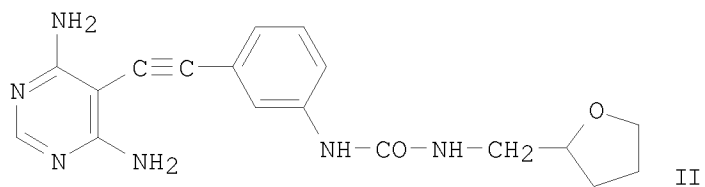
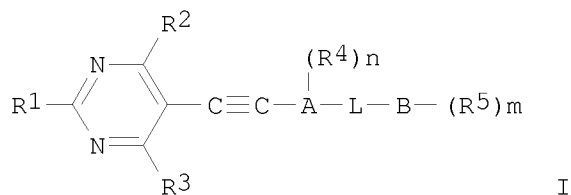
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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IN 2007DN05604	A	20070817	IN 2007-DN5604	20070719
CN 101137652	A	20080305	CN 2006-80007855	20070911
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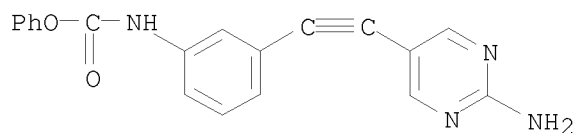
OTHER SOURCE(S): MARPAT 145:230644

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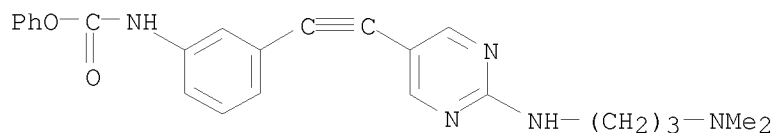




- AB Substituted pyrimidine derivs. I, wherein R1 is an (un)substituted amine, (un)substituted 3-7 membered heterocyclic ring; R2 and R3 are H, (un)substituted alkyl, (un)substituted alkoxy; A is a 5 or 6 membered heteroaryl ring; R4 is halo, cyano, alkoxy, cyclopropyl, alkyl, where the alkoxy or alkyl groups are optionally substituted by cyano or 1 or more fluoro groups; L is meta or para attached by an (un)substituted amide, (un)substituted amine, alkyl group; B is a cycloalkyl, heterocyclic ring, aryl, heteroaryl, bicyclic ring; R5 is a halo, hydroxyl, amino, alkylamino, cyano, cycloalkyl ring, an (un)substituted 3 to 7 membered heterocyclic ring; m and n are 0-3 are prepared and used as medicaments and in the production of an anti-angiogenic effect in a warm blooded animal. Thus, II was prepared and tested as an in vitro inhibitor of the Tie2 receptor tyrosine kinase and in the inhibition of autophosphorylation of Tie2 receptor tyrosine kinase (IC<sub>50</sub> are 1.5 and 1.9  $\mu$ M resp.). Further, I can be used in the treatment of cancer and as antineoplastic prodrugs.
- IT 857265-17-9P, Phenyl[3-[(2-aminopyrimidin-5-yl)ethynyl]phenyl]carbamate 857266-46-7P, Phenyl 3-[[2-[[3-(dimethylamino)propyl]amino]pyrimidin-5-yl]ethynyl]phenylcarbamate 857287-13-9P, Phenyl[3-[(4,6-diaminopyrimidin-5-yl)ethynyl]phenyl]carbamate 905439-39-6P 905439-44-3P 905439-48-7P 905439-61-4P 905439-64-7P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (preparation of pyrimidine derivs. and their use as Tie2 receptor tyrosine kinase inhibitors)
- RN 857265-17-9 CAPLUS
- CN Carbamic acid, [3-[(2-amino-5-pyrimidinyl)ethynyl]phenyl]-, phenyl ester (9CI) (CA INDEX NAME)

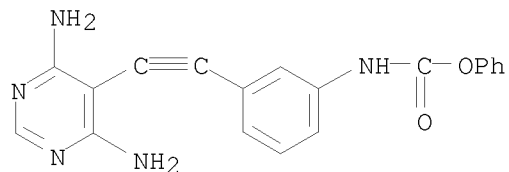


- RN 857266-46-7 CAPLUS
- CN Carbamic acid, [3-[[2-[[3-(dimethylamino)propyl]amino]-5-pyrimidinyl]ethynyl]phenyl]-, phenyl ester (9CI) (CA INDEX NAME)



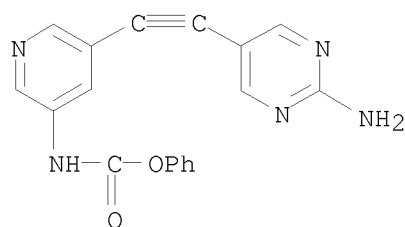
RN 857287-13-9 CAPLUS

CN Carbamic acid, [3-[(4,6-diamino-5-pyrimidinyl)ethynyl]phenyl]-, phenyl ester (9CI) (CA INDEX NAME)



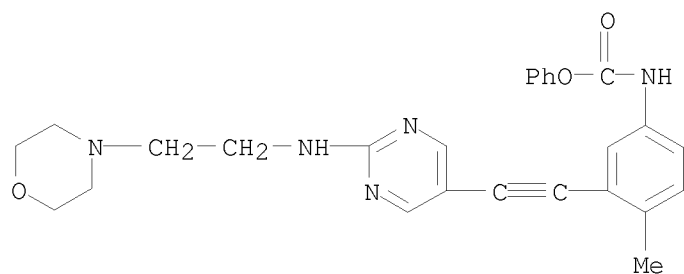
RN 905439-39-6 CAPLUS

CN Carbamic acid, [5-[(2-amino-5-pyrimidinyl)ethynyl]-3-pyridinyl]-, phenyl ester (9CI) (CA INDEX NAME)



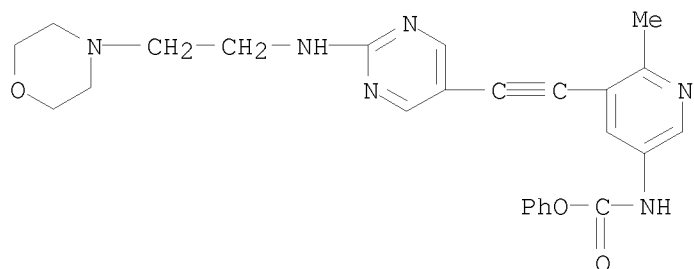
RN 905439-44-3 CAPLUS

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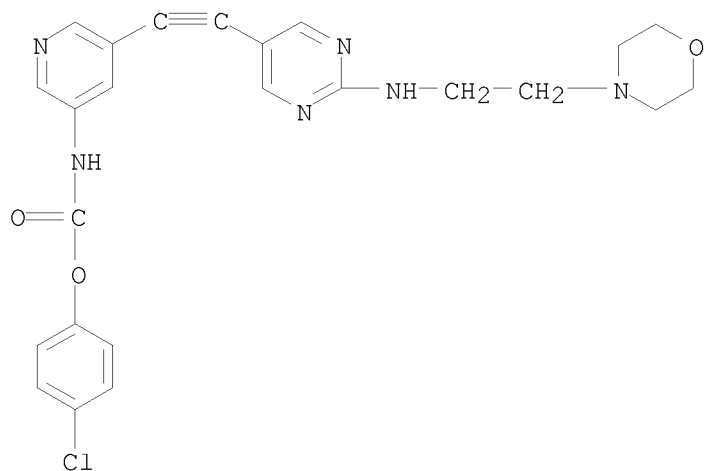
RN 905439-48-7 CAPLUS

CN Carbamic acid, [6-methyl-5-[[2-[[2-(4-morpholinyl)ethyl]amino]-5-pyrimidinyl]ethynyl]-3-pyridinyl]-, phenyl ester (9CI) (CA INDEX NAME)



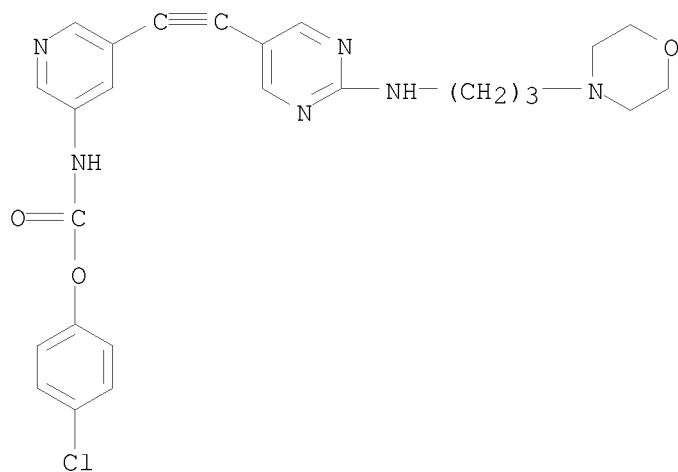
RN 905439-61-4 CAPLUS

CN Carbamic acid, [5-[[2-[[2-(4-morpholinyl)ethyl]amino]-5-pyrimidinyl]ethynyl]-3-pyridinyl]-, 4-chlorophenyl ester (9CI) (CA INDEX NAME)



RN 905439-64-7 CAPLUS

CN Carbamic acid, [5-[[2-[[3-(4-morpholinyl)propyl]amino]-5-pyrimidinyl]ethynyl]-3-pyridinyl]-, 4-chlorophenyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT:

5

THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS

L4 ANSWER 3 OF 5 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2006:381241 CAPLUS

DOCUMENT NUMBER: 144:432828

TITLE: Heteroaryl-substituted alkyne compounds as protein kinase inhibitors, their preparation, pharmaceutical compositions, and use in therapy

INVENTOR(S): Chaffee, Stuart C.; Albrecht, Brian K.; Hodous, Brian L.; Martin, Matthew W.; McGowan, David C.; Dimauro, Erin F.; Reddy, Gade; Cee, Victor J.; Olivieri, Philip R.; Reed, Anthony; Romero, Karina

PATENT ASSIGNEE(S): Amgen Inc., USA

SOURCE: PCT Int. Appl., 330 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006044823	A2	20060427	WO 2005-US37299	20051017
WO 2006044823	A3	20060615		
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US 20060217380	A1	20060928	US 2005-251490	20051014
AU 2005295414	A1	20060427	AU 2005-295414	20051017
CA 2583907	A1	20060427	CA 2005-2583907	20051017
EP 1802586	A2	20070704	EP 2005-812237	20051017
R:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, YU			
PRIORITY APPLN. INFO.:			US 2004-620100P	P 20041018
			US 2005-251490	A 20051014
			WO 2005-US37299	W 20051017

OTHER SOURCE(S): MARPAT 144:432828

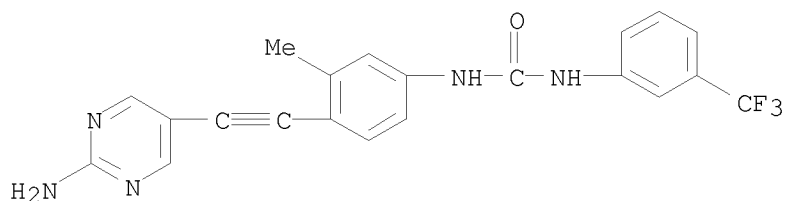
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\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

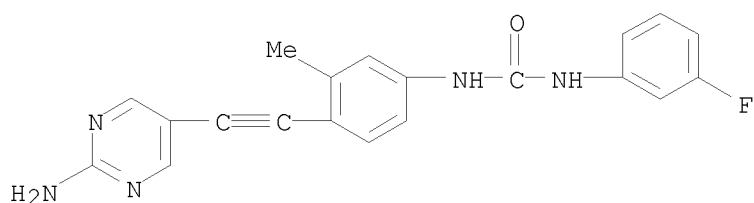
AB The invention relates to heteroaryl-substituted alkynes of formula I, which are protein kinase modulators. In compds. I, W, X, Y, and Z are independently selected from N and (un)substituted C; R1 is (un)substituted amino, acyl, acyloxy, carboxylate, carbamoyl, thiocarbamoyl, etc.; and R2 is 5- to 8-membered monocyclic, 6- to 12-membered bicyclic, or 7- to 14-membered tricyclic ring system, optionally including 1-3 heteroatoms selected from O, N, and S; including stereoisomers, tautomers, solvates, salts, derivs., and prodrugs thereof. The invention also relates to the

preparation of I, pharmaceutical compns. comprising a compound I and a pharmaceutically acceptable carrier, as well as to the use of the compns. for the prophylaxis and treatment of protein kinase-mediated diseases, including inflammation, cancer and related conditions. Chlorination of 3-iodo-4-methylbenzoic acid and amidation with 3-trifluoromethylaniline gave benzamide II, which underwent coupling with 2-amino-5-ethynylpyrimidine (preparation from 2-amino-5-iodopyrimidine and trimethylsilylacetylene is given) to give pyrimidinylalkyne III. Several compds. of the invention, e.g., III, express IC50 values of less than or equal to 10  $\mu$ M both for Tie-2 and Lck kinase.

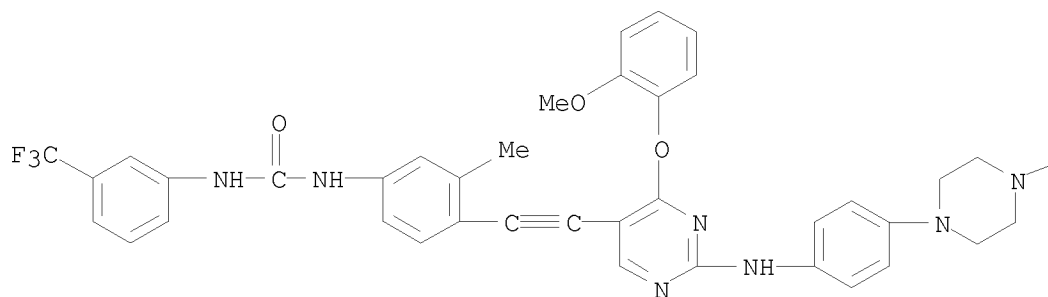
IT 884602-98-6P, N-[4-[2-(2-Aminopyrimidin-5-yl)ethynyl]-3-methylphenyl]-N'-[3-(trifluoromethyl)phenyl]urea 884603-00-3P  
 884604-13-1P, N-[3-Methyl-4-[[4-[[2-(methyloxy)phenyl]oxy]-2-[[4-(4-methyl-1-piperazinyl)phenyl]amino]-5-pyrimidinyl]ethynyl]phenyl]-N'-[3-(trifluoromethyl)phenyl]urea  
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (drug candidate; preparation of heteroaryl-substituted alkynes as protein kinase modulators)  
 RN 884602-98-6 CAPLUS  
 CN Urea, N-[4-[2-(2-amino-5-pyrimidinyl)ethynyl]-3-methylphenyl]-N'-[3-(trifluoromethyl)phenyl]- (CA INDEX NAME)



RN 884603-00-3 CAPLUS  
 CN Urea, N-[4-[2-(2-amino-5-pyrimidinyl)ethynyl]-3-methylphenyl]-N'-(3-fluorophenyl)- (CA INDEX NAME)



RN 884604-13-1 CAPLUS  
 CN Urea, N-[4-[2-[4-(2-methoxyphenoxy)-2-[[4-(4-methyl-1-piperazinyl)phenyl]amino]-5-pyrimidinyl]ethynyl]-3-methylphenyl]-N'-[3-(trifluoromethyl)phenyl]- (CA INDEX NAME)



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L4 ANSWER 4 OF 5 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2005:588668 CAPLUS

DOCUMENT NUMBER: 143:115557

TITLE: Preparation of 2-aminopyrimidine derivatives as inhibitors of Tie2 receptor tyrosine kinases

INVENTOR(S): Jones, Clifford David; Luke, Richard William Arthur; McCoull, William

PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Astrazeneca UK Limited

SOURCE: PCT Int. Appl., 178 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005060970	A1	20050707	WO 2004-GB5337	20041220
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
EP 1737463	A1	20070103	EP 2004-806139	20041220
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CN 1917879	A	20070221	CN 2004-80041901	20041220
JP 2007517007	T	20070628	JP 2006-546306	20041220
US 20080108608	A1	20080508	US 2006-596745	20060622
IN 2006MN00846	A	20070608	IN 2006-MN846	20060717

PRIORITY APPLN. INFO.:

GB 2003-30000

A 20031224

GB 2004-16849

A 20040729

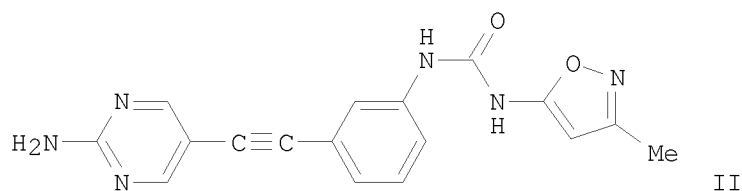
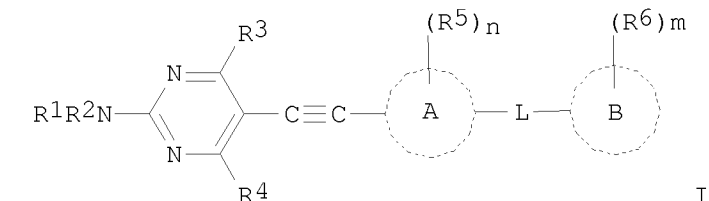
WO 2004-GB5337

W 20041220

OTHER SOURCE(S):

MARPAT 143:115557

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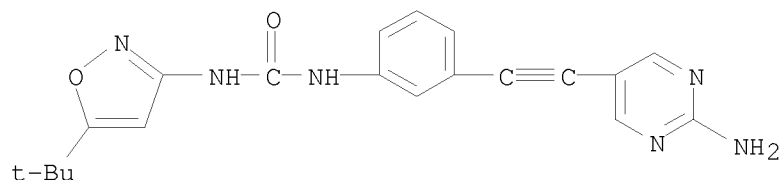


AB Title compds. I [wherein R1, R2 = H, alkyl, alkanoyl; R3, R4 = H, alkyl, alkoxy; R5 = cyclopropyl, halo, cyano; m, n = 0-3; R6 = halo, oxo, cyano; etc., or salts thereof] were prepared as inhibitors of Tie2 receptor tyrosine kinases. Processes for the synthesis of I and some intermediates involved are claimed. For example, 2-amino-5-iodopyrimidine underwent Pd-catalyzed coupling with 3-ethynylaniline in the presence of CuI. The resultant substituted aniline was condensed with a carbamate, which was obtained from Ph chloroformate and 5-amino-3-methylisoxazole, to give urea II. This compound showed inhibition against Tie2 receptor tyrosine kinase in vitro and inhibition of autophosphorylation of Tie2 receptor tyrosine kinase with IC50 values of 19.871  $\mu$ M and 0.337  $\mu$ M, resp. Therefore, I and their pharmaceutical compns. have potential use in the production of an anti-angiogenic effect in a warm-blooded animal.

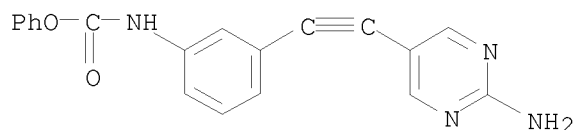
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 RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)  
 (inhibitor; preparation of pyrimidine derivs. as inhibitors of Tie2 receptor tyrosine kinases)

RN 857265-16-8 CAPLUS

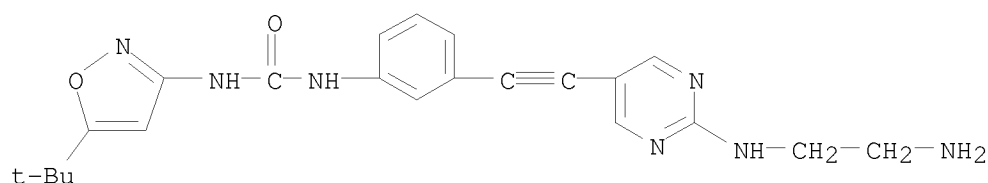
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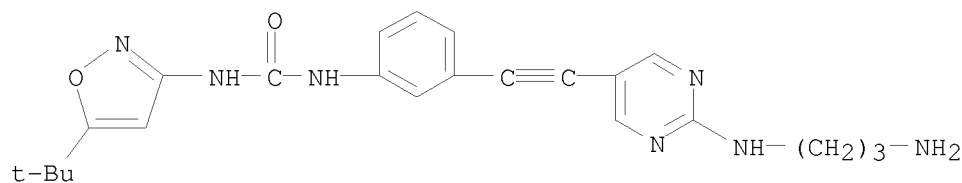
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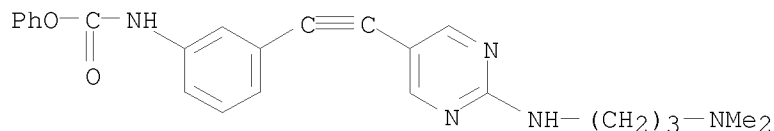
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 CN Urea, N-[3-[2-[2-[(2-aminoethyl)amino]-5-pyrimidinyl]ethynyl]phenyl]-N'-[5-(1,1-dimethylethyl)-3-isoxazolyl]- (CA INDEX NAME)



RN 857265-32-8 CAPLUS  
 CN Urea, N-[3-[2-[2-[(3-aminopropyl)amino]-5-pyrimidinyl]ethynyl]phenyl]-N'-[5-(1,1-dimethylethyl)-3-isoxazolyl]- (CA INDEX NAME)



RN 857266-46-7 CAPLUS  
 CN Carbamic acid, [3-[[2-[[3-(dimethylamino)propyl]amino]-5-pyrimidinyl]ethynyl]phenyl]-, phenyl ester (9CI) (CA INDEX NAME)



IT 857264-91-6P, N-[3-[(2-Aminopyrimidin-5-yl)ethynyl]phenyl]-N'-[2-fluoro-5-(trifluoromethyl)phenyl]urea 857264-93-8P, N-[3-[(2-Aminopyrimidin-5-yl)ethynyl]phenyl]-N'-[2-(trifluoromethyl)phenyl]urea 857264-94-9P, N-[3-[(2-Aminopyrimidin-5-yl)ethynyl]phenyl]-N'-[4-(trifluoromethyl)phenyl]urea 857264-95-0P, N-[3-[(2-Aminopyrimidin-5-yl)ethynyl]phenyl]-N'-(2-fluorophenyl)urea 857264-96-1P, N-[3-[(2-Aminopyrimidin-5-yl)ethynyl]phenyl]-N'-(3-fluorophenyl)urea 857264-97-2P, N-[3-[(2-Aminopyrimidin-5-yl)ethynyl]phenyl]-N'-(4-fluorophenyl)urea 857264-98-3P, N-[3-[(2-Aminopyrimidin-5-yl)ethynyl]phenyl]-N'-(3-methoxyphenyl)urea 857264-99-4P, N-[3-[(2-Aminopyrimidin-5-



yl)ethynyl]phenyl]-N'-(2,5-difluorophenyl)urea 857265-00-0P,  
N-[3-[(2-Aminopyrimidin-5-yl)ethynyl]phenyl]-N'-(1,3-benzodioxol-5-yl)urea  
857265-01-1P, N-[3-[(2-Aminopyrimidin-5-yl)ethynyl]phenyl]-N'-[3-  
(trifluoromethyl)phenyl]urea 857265-02-2P, N-[3-[(2-  
Aminopyrimidin-5-yl)ethynyl]phenyl]-N'-(2-methoxyphenyl)urea  
857265-03-3P, N-[3-[(2-Aminopyrimidin-5-yl)ethynyl]phenyl]-N'-(4-  
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chlorophenyl)urea 857265-07-7P, N-[3-[(2-Aminopyrimidin-5-  
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N-[3-[(2-Aminopyrimidin-5-yl)ethynyl]phenyl]-N'-(3,5-difluorophenyl)urea  
857265-09-9P, N-[3-[(2-Aminopyrimidin-5-yl)ethynyl]phenyl]-N'-(5-  
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N-[3-[(2-Aminopyrimidin-5-yl)ethynyl]phenyl]-N'-(3-methylisoxazol-5-  
yl)urea 857265-14-6P, N-[3-[[[3-[(2-Aminopyrimidin-5-  
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857265-15-7P, N-[3-[(2-Aminopyrimidin-5-yl)ethynyl]phenyl]-N'-[4-  
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N-[3-[(2-Aminopyrimidin-5-yl)ethynyl]phenyl]-N'-(2-oxopiperidin-3-yl)urea  
857265-19-1P, N-(5-tert-Butylisoxazol-3-yl)-N'-[3-[[2-  
(methylamino)pyrimidin-5-yl]ethynyl]phenyl]urea 857265-22-6P,  
N-(5-tert-Butylisoxazol-3-yl)-N'-[3-[[2-(dimethylamino)pyrimidin-5-  
yl]ethynyl]phenyl]urea 857265-23-7P, N-(5-tert-Butylisoxazol-3-  
yl)-N'-[3-[[2-[[2-(morpholin-4-yl)ethyl]amino]pyrimidin-5-  
yl]ethynyl]phenyl]urea 857265-24-8P, N-(5-tert-Butylisoxazol-3-  
yl)-N'-[3-[[2-[[3-(morpholin-4-yl)propyl]amino]pyrimidin-5-  
yl]ethynyl]phenyl]urea 857265-25-9P, N-(5-tert-Butylisoxazol-3-  
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857265-26-0P, N-(5-tert-Butylisoxazol-3-yl)-N'-[3-[[2-[[3-(1H-  
imidazol-1-yl)propyl]amino]pyrimidin-5-yl]ethynyl]phenyl]urea  
857265-27-1P, N-(5-tert-Butylisoxazol-3-yl)-N'-[3-[[2-[[3-  
methoxypropyl]amino]pyrimidin-5-yl]ethynyl]phenyl]urea  
857265-28-2P, N-(5-tert-Butylisoxazol-3-yl)-N'-[3-[[2-[[2-  
hydroxyethyl]amino]pyrimidin-5-yl]ethynyl]phenyl]urea 857265-29-3P  
, N-(5-tert-Butylisoxazol-3-yl)-N'-[3-[[2-[[2-(pyrrolidin-1-  
yl)ethyl]amino]pyrimidin-5-yl]ethynyl]phenyl]urea 857265-30-6P,  
N-(5-tert-Butylisoxazol-3-yl)-N'-[3-[[2-[[3-(pyrrolidin-1-  
yl)propyl]amino]pyrimidin-5-yl]ethynyl]phenyl]urea 857265-33-9P,  
N-(5-tert-Butylisoxazol-3-yl)-N'-[3-[[2-[[2-(dimethylamino)ethyl]amino]pyr-  
imidin-5-yl]ethynyl]phenyl]urea 857265-34-0P,  
N-(5-tert-Butylisoxazol-3-yl)-N'-[3-[[2-[[3-(dimethylamino)propyl]amino]py-  
rimidin-5-yl]ethynyl]phenyl]urea 857265-35-1P,  
N-[5-[[3-[[[(5-tert-Butylisoxazol-3-yl)amino]carbonyl]amino]phenyl]ethynyl  
]pyrimidin-2-yl]glycinamide 857265-36-2P 857265-37-3P,  
N-(5-tert-Butylisoxazol-3-yl)-N'-[3-[[2-[[2-(1H-imidazol-4-  
yl)ethyl]amino]pyrimidin-5-yl]ethynyl]phenyl]urea 857265-38-4P,  
N-(5-tert-Butylisoxazol-3-yl)-N'-[3-[[2-[[2-(pyridin-2-  
yl)ethyl]amino]pyrimidin-5-yl]ethynyl]phenyl]urea 857265-39-5P,  
N-(5-tert-Butylisoxazol-3-yl)-N'-[3-[[2-[[3-(isopropylamino)propyl]amino]p-  
yrimidin-5-yl]ethynyl]phenyl]urea 857265-40-8P,  
N-(5-tert-Butylisoxazol-3-yl)-N'-[3-[[2-[[3-(4-methylpiperazin-1-  
yl)propyl]amino]pyrimidin-5-yl]ethynyl]phenyl]urea 857265-41-9P,  
N-(5-tert-Butylisoxazol-3-yl)-N'-[3-[[2-[[2-(pyridin-4-  
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N-(5-tert-Butylisoxazol-3-yl)-N'-[3-[[2-[[3-(piperidin-1-  
yl)propyl]amino]pyrimidin-5-yl]ethynyl]phenyl]urea 857265-43-1P,  
N-(5-Methylisoxazol-3-yl)-N'-[3-[[2-[[2-(pyrrolidin-1-  
yl)ethyl]amino]pyrimidin-5-yl]ethynyl]phenyl]urea 857265-47-5P,  
N-(5-tert-Butyl-1,3,4-thiadiazol-2-yl)-N'-[3-[[2-[[2-(pyrrolidin-1-  
yl)ethyl]amino]pyrimidin-5-yl]ethynyl]phenyl]urea 857265-48-6P,

N-(3-Methylisothiazol-5-yl)-N'-[3-[[2-[[2-(pyrrolidin-1-yl)ethyl]amino]pyrimidin-5-yl]ethynyl]phenyl]urea 857265-49-7P,  
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N-(3,4-Difluorophenyl)-N'-[3-[[2-[[2-(pyrrolidin-1-yl)ethyl]amino]pyrimidin-5-yl]ethynyl]phenyl]urea 857265-54-4P,  
N-[2-Fluoro-5-(trifluoromethyl)phenyl]-N'-[3-[[2-[[2-(pyrrolidin-1-yl)ethyl]amino]pyrimidin-5-yl]ethynyl]phenyl]urea 857265-55-5P,  
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N-(1,3-Benzodioxol-5-yl)-N'-[3-[[2-[[2-(pyrrolidin-1-yl)ethyl]amino]pyrimidin-5-yl]ethynyl]phenyl]urea 857265-57-7P,  
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N-[5-[[3-[[[5-tert-Butylisoxazol-3-yl]amino]carbonyl]amino]phenyl]ethynyl]pyrimidin-2-yl]-2-(2-methoxyethoxy)acetamide 857265-72-6P,  
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N-[5-[[2-Aminopyrimidin-5-yl]ethynyl]-1,3,4-thiadiazol-2-yl]-N'-[2-fluoro-5-(trifluoromethyl)phenyl]urea 857265-82-8P,  
N-[5-[[2-Aminopyrimidin-5-yl]ethynyl]-1,3-thiazol-2-yl]-N'-(5-tert-butylisoxazol-3-yl)urea 857265-84-0P, N-[3-[[2-Aminopyrimidin-5-yl]ethynyl]phenyl]-2-(2-methoxyphenyl)acetamide 857265-85-1P,  
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yl)acetamide 857265-93-1P, N-[3-[(2-Aminopyrimidin-5-yl)ethynyl]phenyl]-N'-(2,2-dimethyltetrahydro-2H-pyran-4-yl)urea 857265-94-2P, N-[6-[(2-Aminopyrimidin-5-yl)ethynyl]pyrimidin-4-yl]-N'-(5-tert-butylisoxazol-3-yl)urea 857265-96-4P, N'-[3-[(2-Aminopyrimidin-5-yl)ethynyl]phenyl]-N-(5-tert-butylisoxazol-3-yl)-N-methylurea 857265-97-5P, N-[3-[(2-Aminopyrimidin-5-yl)ethynyl]phenyl]-N'-phenylurea 857265-98-6P, N-[3-[(2-Aminopyrimidin-5-yl)ethynyl]phenyl]-N'-(1-tert-butyl-3-cyclopropyl-1H-pyrazol-5-yl)urea 857265-99-7P, N-[3-[(2-Aminopyrimidin-5-yl)ethynyl]phenyl]-N'-(5-methyl-1,3,4-thiadiazol-2-yl)urea 857266-00-3P, N-[3-[(2-Aminopyrimidin-5-yl)ethynyl]phenyl]-N'-(5-ethyl-1,3,4-thiadiazol-2-yl)urea 857266-01-4P, N-[3-[(2-Aminopyrimidin-5-yl)ethynyl]phenyl]-N'-(5-isopropyl-1,3,4-thiadiazol-2-yl)urea 857266-02-5P, N-[3-[(2-Aminopyrimidin-5-yl)ethynyl]phenyl]-N'-(4-tert-butyl-1,3-thiazol-2-yl)urea 857266-03-6P, N-[3-[(2-Aminopyrimidin-5-yl)ethynyl]phenyl]-N'-(5-methylisoxazol-3-yl)urea 857266-04-7P, N-[3-[(2-Aminopyrimidin-5-yl)ethynyl]phenyl]-N'-[5-(trifluoromethyl)-1,3,4-thiadiazol-2-yl]urea 857266-05-8P, N'-[3-[(2-Aminopyrimidin-5-yl)ethynyl]phenyl]-N-methyl-N-[5-(trifluoromethyl)-1,3,4-thiadiazol-2-yl]urea 857266-06-9P, N-[3-[(2-Aminopyrimidin-5-yl)ethynyl]phenyl]-N'-(5-cyclopropyl-1,3,4-thiadiazol-2-yl)urea 857266-07-0P, N-Phenyl-N'-[3-[[2-[[3-(piperidin-1-yl)propyl]amino]pyrimidin-5-yl]ethynyl]phenyl]urea 857266-09-2P, N-(5-Methylisoxazol-3-yl)-N'-[3-[[2-[[3-(piperidin-1-yl)propyl]amino]pyrimidin-5-yl]ethynyl]phenyl]urea 857266-10-5P, N-[3-[[2-[[3-(Piperidin-1-yl)propyl]amino]pyrimidin-5-yl]ethynyl]phenyl]-N'-[4-(trifluoromethyl)pyridin-2-yl]urea 857266-11-6P, N-(5-tert-Butyl-1,3,4-thiadiazol-2-yl)-N'-[3-[[2-[[3-(piperidin-1-yl)propyl]amino]pyrimidin-5-yl]ethynyl]phenyl]urea 857266-12-7P, N-(3-Methylisoxazol-5-yl)-N'-[3-[[2-[[3-(piperidin-1-yl)propyl]amino]pyrimidin-5-yl]ethynyl]phenyl]urea 857266-13-8P, N-(2-Methoxyphenyl)-N'-[3-[[2-[[3-(piperidin-1-yl)propyl]amino]pyrimidin-5-yl]ethynyl]phenyl]urea 857266-14-9P, N-(3-Fluorophenyl)-N'-[3-[[2-[[3-(piperidin-1-yl)propyl]amino]pyrimidin-5-yl]ethynyl]phenyl]urea 857266-15-0P, N-[3-[[2-[[4-Aminobutyl]amino]pyrimidin-5-yl]ethynyl]phenyl]-N'-(5-tert-butylisoxazol-3-yl)urea 857266-16-1P, N-(5-tert-Butylisoxazol-3-yl)-N'-[3-[[2-[[2-(piperidin-1-yl)ethyl]amino]pyrimidin-5-yl]ethynyl]phenyl]urea 857266-17-2P, N-(5-tert-Butylisoxazol-3-yl)-N'-[3-[[2-[[2-(isopropylamino)ethyl]amino]pyrimidin-5-yl]ethynyl]phenyl]urea 857266-18-3P, N-(5-tert-Butylisoxazol-3-yl)-N'-[3-[[2-[[2-(2-hydroxyethoxy)ethyl]amino]pyrimidin-5-yl]ethynyl]phenyl]urea 857266-19-4P, N-(5-tert-Butylisoxazol-3-yl)-N'-[3-[[2-[[4-(dimethylamino)butyl]amino]pyrimidin-5-yl]ethynyl]phenyl]urea 857266-20-7P, N-(5-tert-Butylisoxazol-3-yl)-N'-[3-[[2-[[2-(dimethylamino)-1-methylethyl]amino]pyrimidin-5-yl]ethynyl]phenyl]urea 857266-21-8P, N-(5-tert-Butylisoxazol-3-yl)-N'-[3-[[2-[[1-methyl-2-(morpholin-4-yl)ethyl]amino]pyrimidin-5-yl]ethynyl]phenyl]urea 857266-22-9P 857266-25-2P 857266-26-3P, N-(5-tert-Butylisoxazol-3-yl)-N'-[3-[[2-[[2-(piperazin-1-yl)ethyl]amino]pyrimidin-5-yl]ethynyl]phenyl]urea 857266-27-4P, N-(5-tert-Butylisoxazol-3-yl)-N'-[3-[[2-[[3-(piperazin-1-yl)propyl]amino]pyrimidin-5-yl]ethynyl]phenyl]urea 857266-29-6P, N-(5-tert-Butylisoxazol-3-yl)-N-methyl-N'-[3-[[2-[[2-(morpholin-4-yl)ethyl]amino]pyrimidin-5-yl]ethynyl]phenyl]urea 857266-32-1P, N-(5-tert-Butylisoxazol-3-yl)-N-methyl-N'-[3-[[2-[[3-(morpholin-4-yl)propyl]amino]pyrimidin-5-yl]ethynyl]phenyl]urea 857266-33-2P, N-(5-tert-Butylisoxazol-3-yl)-N-methyl-N'-[3-[[2-[[3-(piperidin-1-yl)propyl]amino]pyrimidin-5-yl]ethynyl]phenyl]urea 857266-34-3P, N-(3-tert-Butyl-1-methyl-1H-pyrazol-5-yl)-N'-[3-[[2-[[3-(piperidin-1-yl)propyl]amino]pyrimidin-5-yl]ethynyl]phenyl]urea 857266-36-5P,

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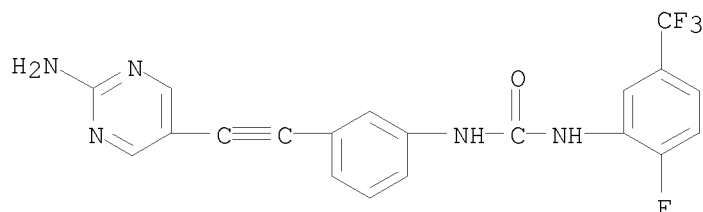
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU

(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(inhibitor; preparation of pyrimidine derivs. as inhibitors of Tie2 receptor tyrosine kinases)

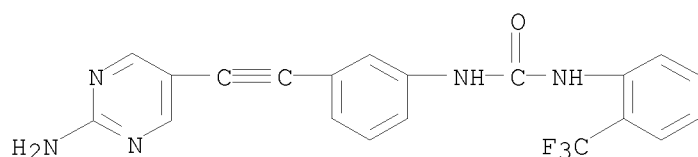
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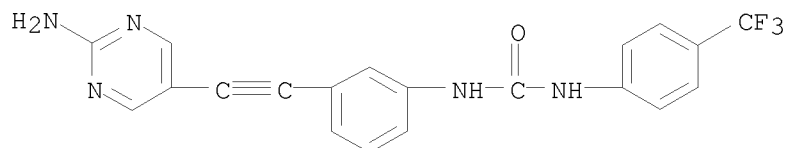
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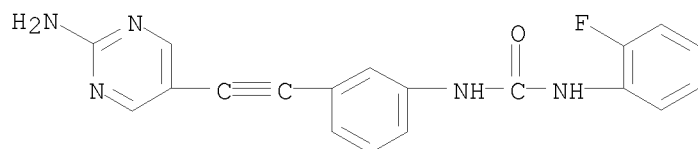
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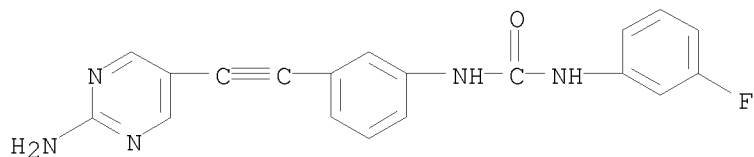
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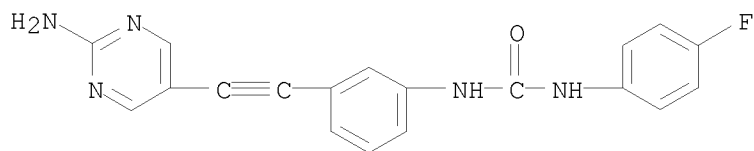


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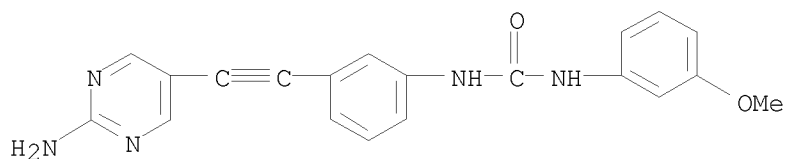
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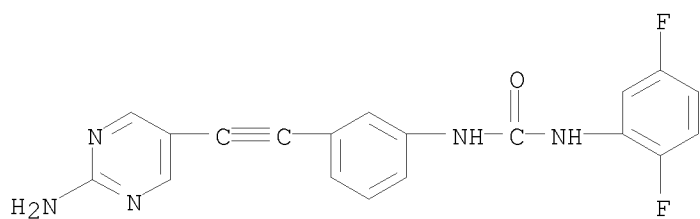
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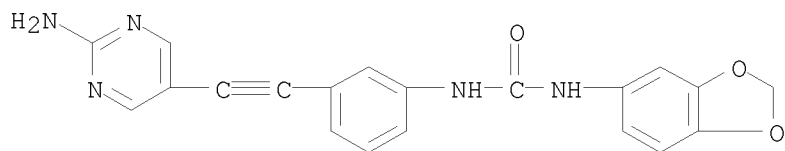
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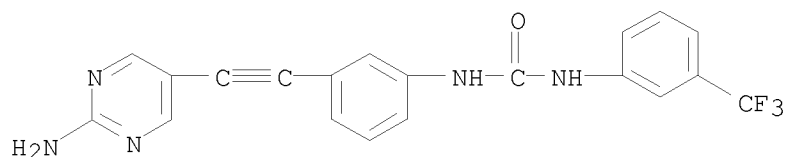


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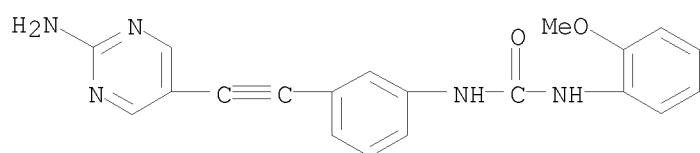
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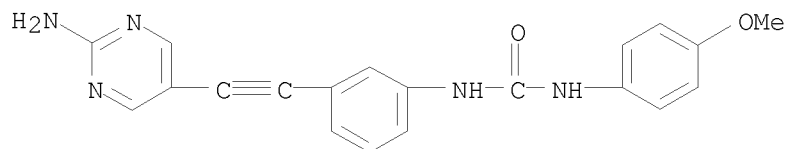
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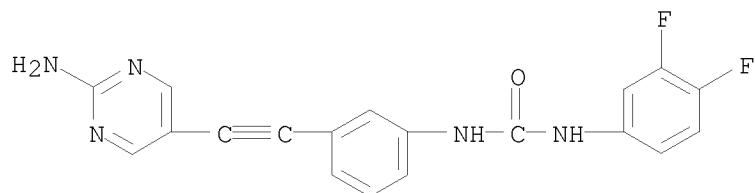
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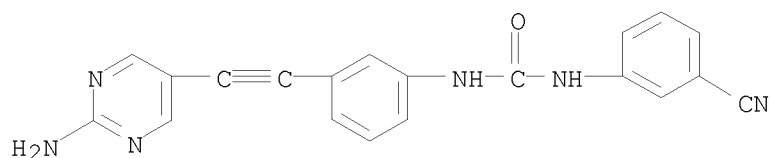
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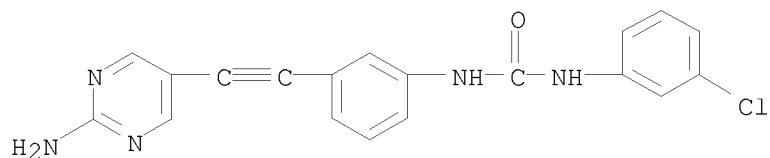


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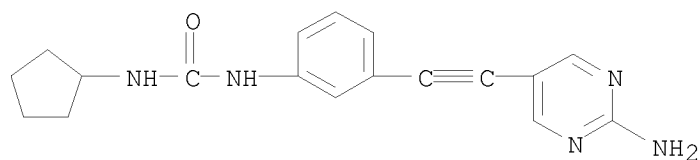
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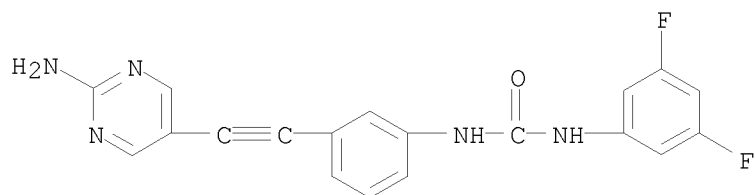
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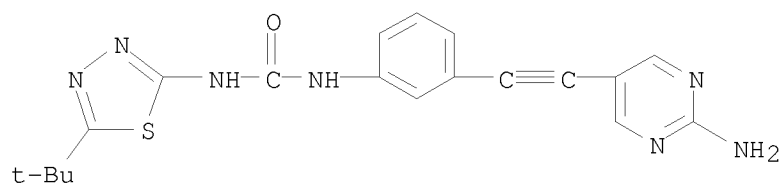
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RN 857265-08-8 CAPLUS  
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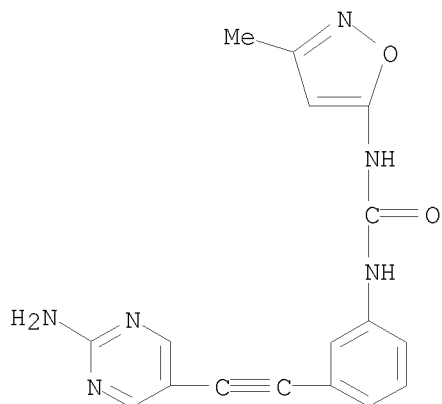


RN 857265-09-9 CAPLUS  
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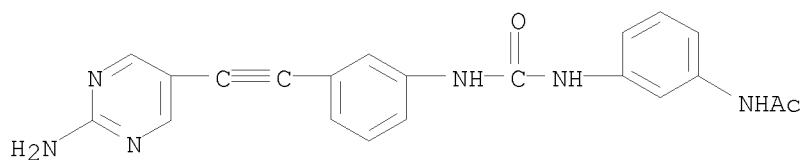


RN 857265-13-5 CAPLUS  
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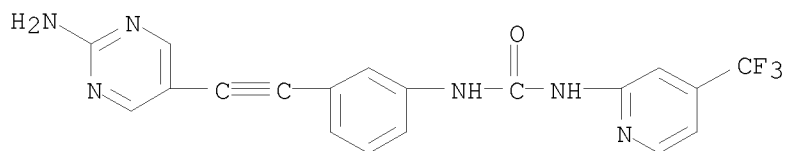




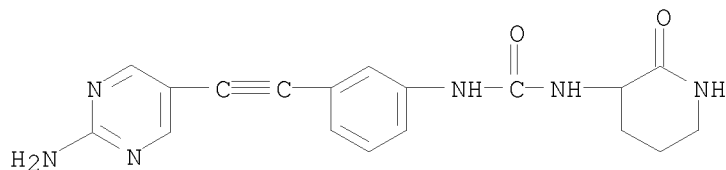
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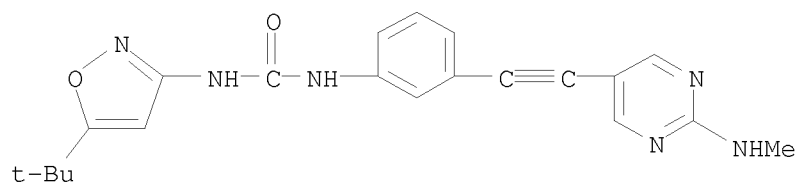
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RN 857265-18-0 CAPLUS  
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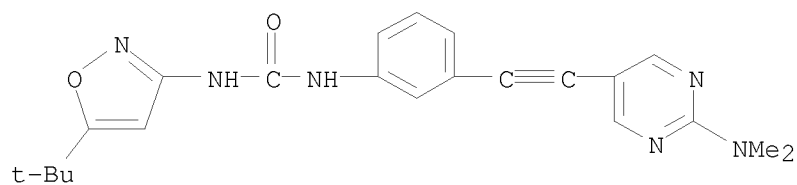


RN 857265-19-1 CAPLUS  
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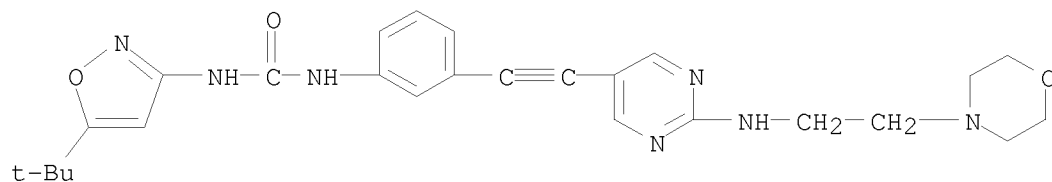
RN 857265-22-6 CAPLUS

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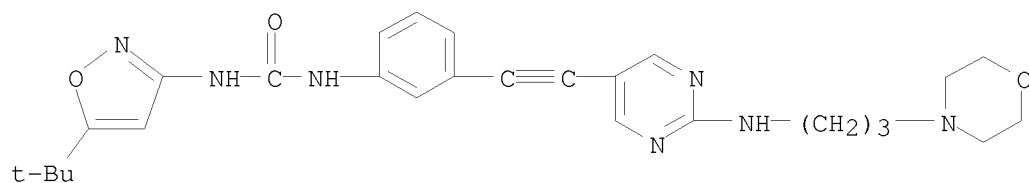
RN 857265-23-7 CAPLUS

CN Urea, N-[5-(1,1-dimethylethyl)-3-isoxazolyl]-N'-[3-[2-[2-[[2-(4-morpholinyl)ethyl]amino]-5-pyrimidinyl]ethynyl]phenyl]- (CA INDEX NAME)



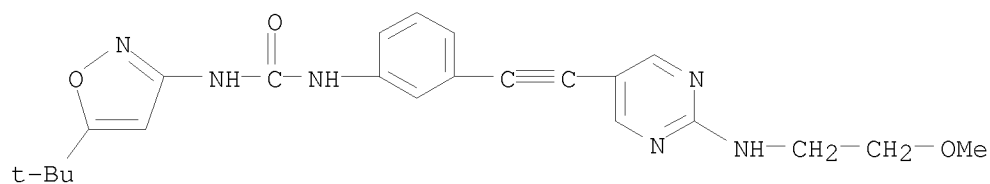
RN 857265-24-8 CAPLUS

CN Urea, N-[5-(1,1-dimethylethyl)-3-isoxazolyl]-N'-[3-[2-[2-[[3-(4-morpholinyl)propyl]amino]-5-pyrimidinyl]ethynyl]phenyl]- (CA INDEX NAME)



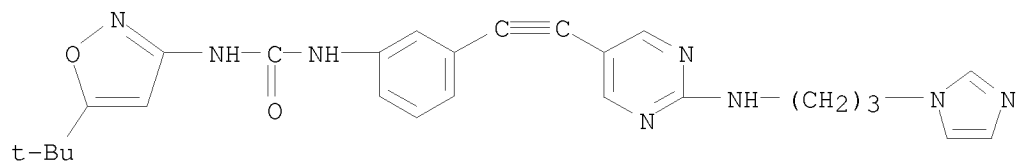
RN 857265-25-9 CAPLUS

CN Urea, N-[5-(1,1-dimethylethyl)-3-isoxazolyl]-N'-[3-[2-[2-[(2-methoxyethyl)amino]-5-pyrimidinyl]ethynyl]phenyl]- (CA INDEX NAME)

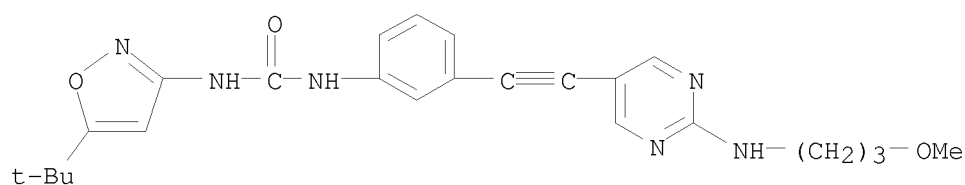


RN 857265-26-0 CAPLUS

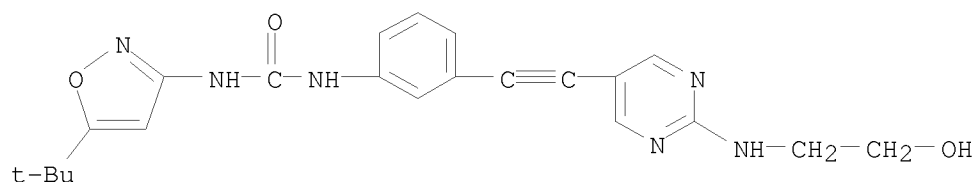
RN 857265-27-1 CAPLUS  
 CN Urea, N-[5-(1,1-dimethylethyl)-3-isoxazolyl]-N'-[3-[2-[2-[[3-(1H-imidazol-1-yl)propyl]amino]-5-pyrimidinyl]ethynyl]phenyl]- (CA INDEX NAME)



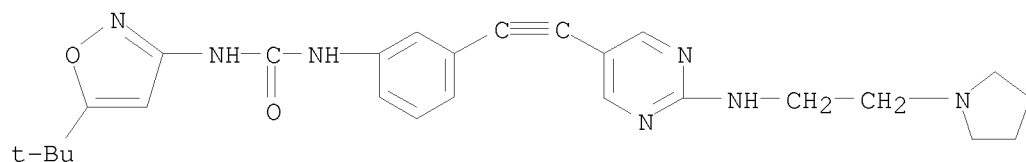
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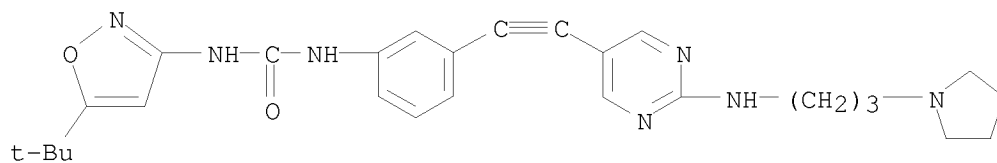
RN 857265-28-2 CAPLUS  
 CN Urea, N-[5-(1,1-dimethylethyl)-3-isoxazolyl]-N'-[3-[2-[2-[[2-(1-hydroxyethyl)amino]-5-pyrimidinyl]ethynyl]phenyl]- (CA INDEX NAME)



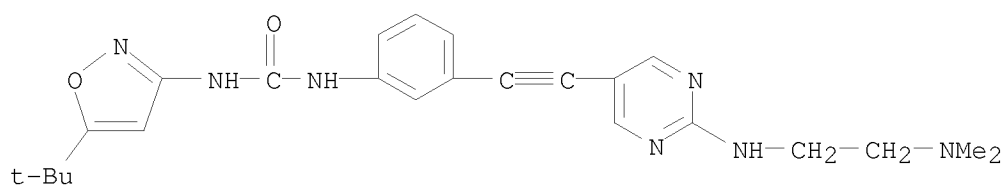
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 CN Urea, N-[5-(1,1-dimethylethyl)-3-isoxazolyl]-N'-[3-[2-[2-[[2-(1-pyrrolidinyl)ethyl]amino]-5-pyrimidinyl]ethynyl]phenyl]- (CA INDEX NAME)



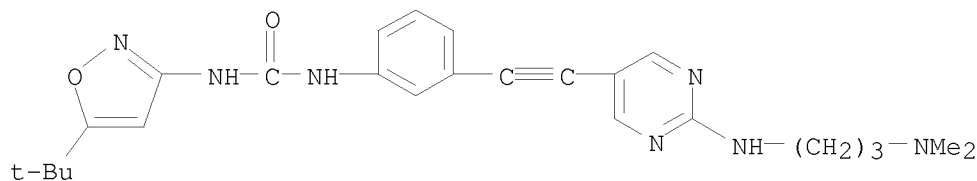
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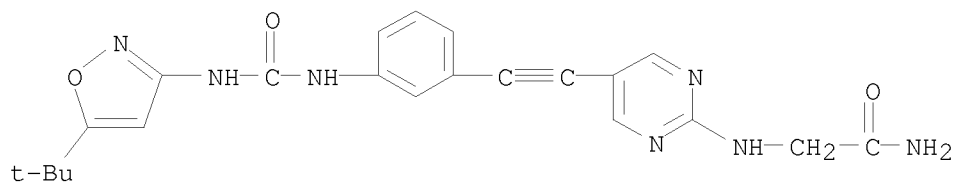
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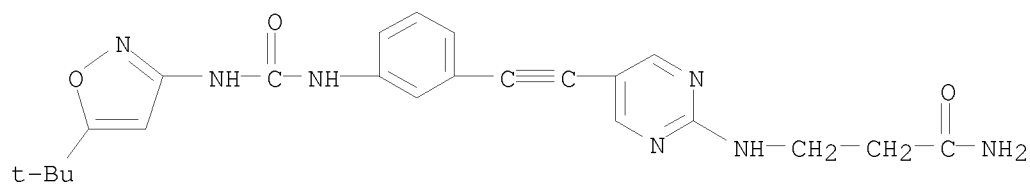
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 CN Urea, N-[3-[2-[2-[3-(dimethylamino)propyl]amino]-5-pyrimidinyl]ethynyl]phenyl]-N'-[5-(1,1-dimethylethyl)-3-isoxazolyl]- (CA INDEX NAME)



RN 857265-35-1 CAPLUS  
 CN Acetamide, 2-[[5-[2-[3-[[[5-(1,1-dimethylethyl)-3-isoxazolyl]amino]carbonyl]amino]phenyl]ethynyl]-2-pyrimidinyl]amino]- (CA INDEX NAME)

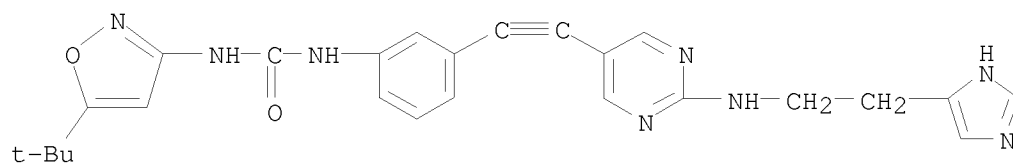


RN 857265-36-2 CAPLUS  
 CN Propanamide, 3-[[5-[2-[3-[[[5-(1,1-dimethylethyl)-3-isoxazolyl]amino]carbonyl]amino]phenyl]ethynyl]-2-pyrimidinyl]amino]- (CA INDEX NAME)



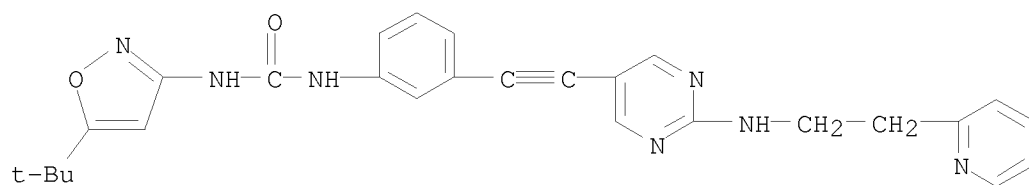
RN 857265-37-3 CAPLUS

CN Urea, N-[5-(1,1-dimethylethyl)-3-isoxazolyl]-N'-[3-[2-[2-[[2-(1H-imidazol-5-yl)ethyl]amino]-5-pyrimidinyl]ethynyl]phenyl]- (CA INDEX NAME)



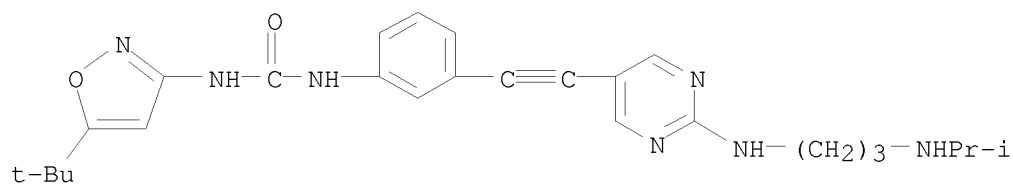
RN 857265-38-4 CAPLUS

CN Urea, N-[5-(1,1-dimethylethyl)-3-isoxazolyl]-N'-[3-[2-[2-[[2-(2-pyridinyl)ethyl]amino]-5-pyrimidinyl]ethynyl]phenyl]- (CA INDEX NAME)



RN 857265-39-5 CAPLUS

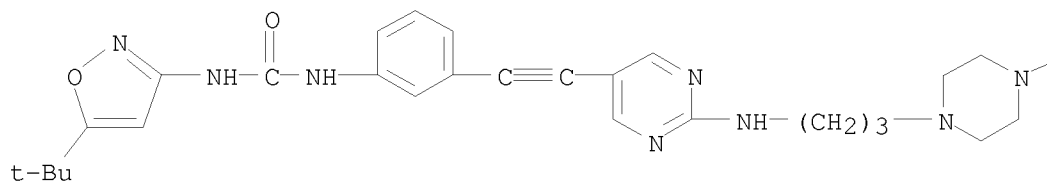
CN Urea, N-[5-(1,1-dimethylethyl)-3-isoxazolyl]-N'-[3-[2-[2-[[3-(1-methylethyl)amino]propyl]amino]-5-pyrimidinyl]ethynyl]phenyl]- (CA INDEX NAME)



RN 857265-40-8 CAPLUS

CN Urea, N-[5-(1,1-dimethylethyl)-3-isoxazolyl]-N'-[3-[2-[2-[[3-(4-methyl-1-piperazinyl)propyl]amino]-5-pyrimidinyl]ethynyl]phenyl]- (CA INDEX NAME)

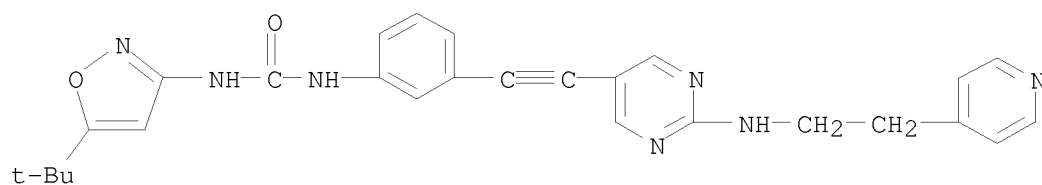
PAGE 1-A



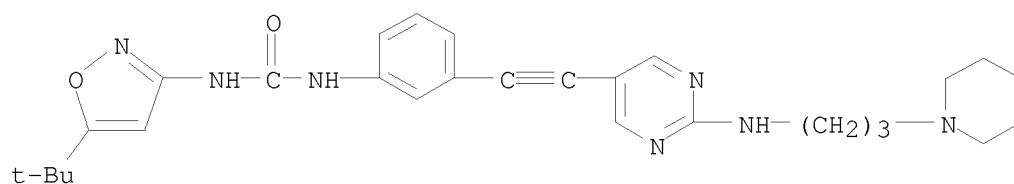
PAGE 1-B

Me

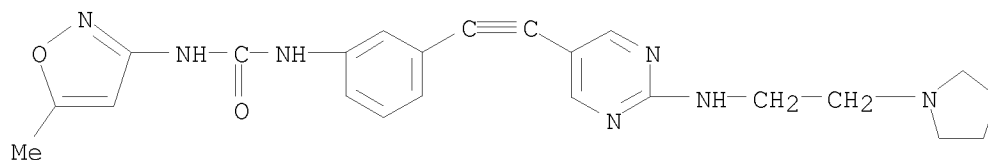
RN 857265-41-9 CAPLUS  
CN Urea, N-[5-(1,1-dimethylethyl)-3-isoxazolyl]-N'-[3-[2-[2-[[2-(4-pyridinyl)ethyl]amino]-5-pyrimidinyl]ethynyl]phenyl]- (CA INDEX NAME)



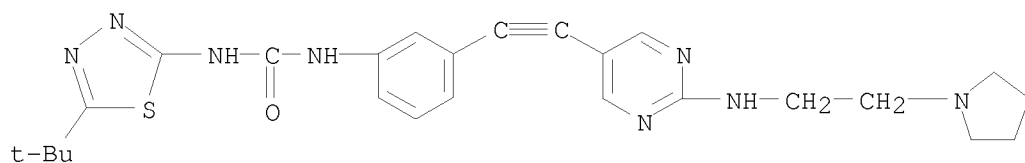
RN 857265-42-0 CAPLUS  
CN Urea, N-[5-(1,1-dimethylethyl)-3-isoxazolyl]-N'-[3-[2-[2-[[3-(1-piperidinyl)propyl]amino]-5-pyrimidinyl]ethynyl]phenyl]- (CA INDEX NAME)



RN 857265-43-1 CAPLUS  
CN Urea, N-(5-methyl-3-isoxazolyl)-N'-[3-[2-[2-[[2-(1-pyrrolidinyl)ethyl]amino]-5-pyrimidinyl]ethynyl]phenyl]- (CA INDEX NAME)

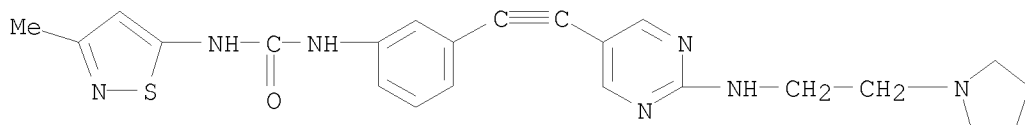


RN 857265-47-5 CAPLUS  
CN Urea, N-[5-(1,1-dimethylethyl)-1,3,4-thiadiazol-2-yl]-N'-[3-[2-[2-[[2-(1-pyrrolidinyl)ethyl]amino]-5-pyrimidinyl]ethynyl]phenyl]- (CA INDEX NAME)



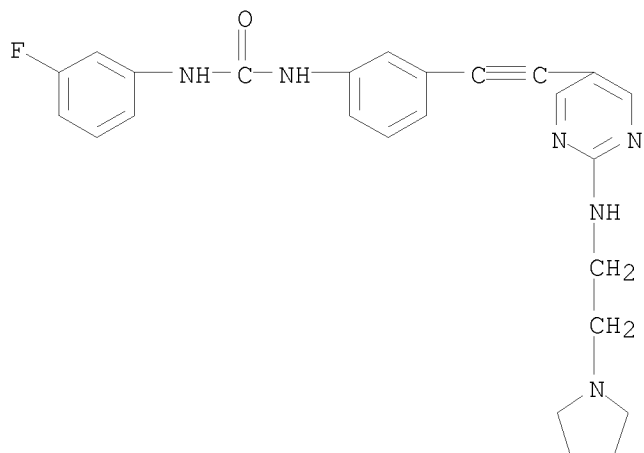
RN 857265-48-6 CAPLUS

CN Urea, N-(3-methyl-5-isothiazolyl)-N'-[3-[2-[2-[[2-(1-pyrrolidinyl)ethyl]amino]-5-pyrimidinyl]ethynyl]phenyl]- (CA INDEX NAME)



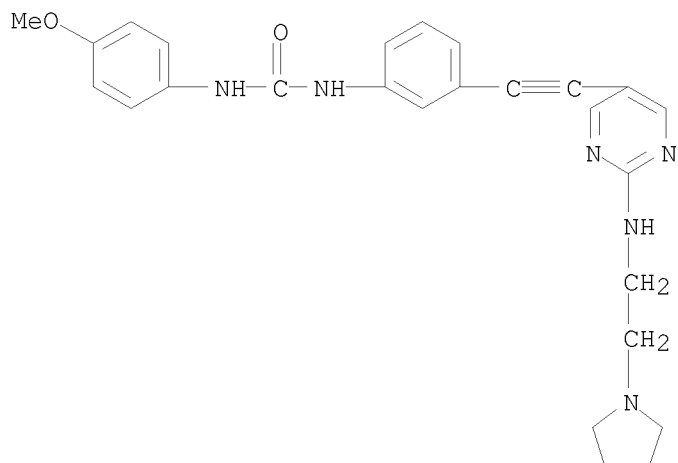
RN 857265-49-7 CAPLUS

CN Urea, N-(3-fluorophenyl)-N'-[3-[2-[2-[[2-(1-pyrrolidinyl)ethyl]amino]-5-pyrimidinyl]ethynyl]phenyl]- (CA INDEX NAME)



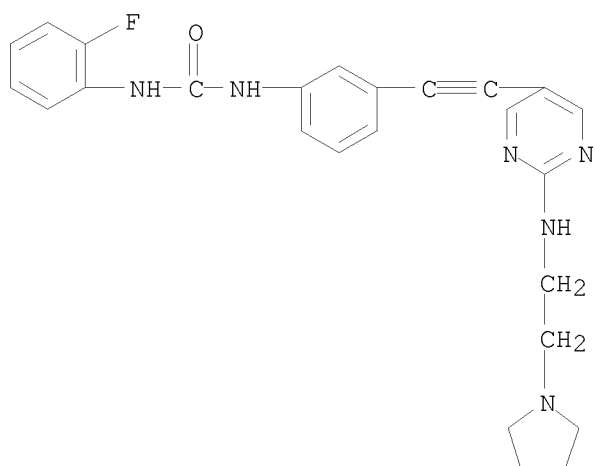
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CN Urea, N-(4-methoxyphenyl)-N'-[3-[2-[2-[[2-(1-pyrrolidinyl)ethyl]amino]-5-pyrimidinyl]ethynyl]phenyl]- (CA INDEX NAME)



RN 857265-51-1 CAPLUS

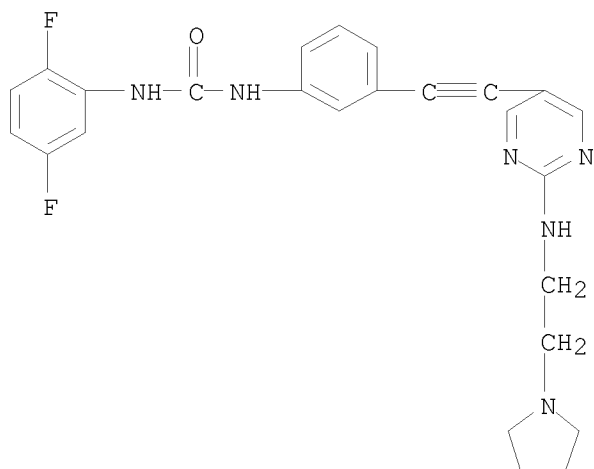
CN Urea, N-(2-fluorophenyl)-N'-[3-[2-[2-[[2-(1-pyrrolidinyl)ethyl]amino]-5-pyrimidinyl]ethynyl]phenyl]- (CA INDEX NAME)



RN 857265-52-2 CAPLUS

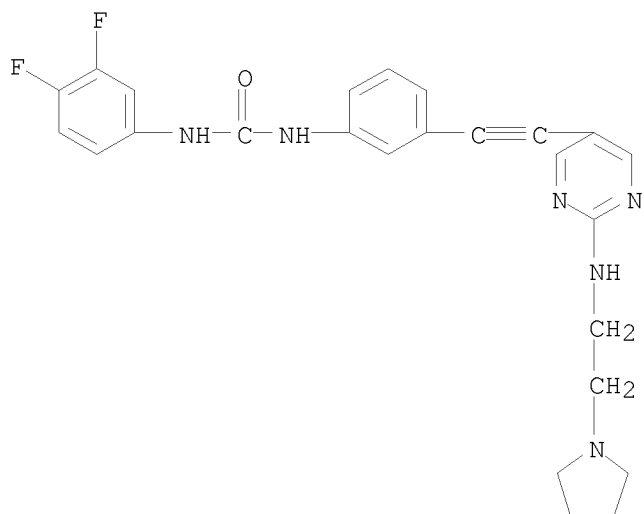
CN Urea, N-(2,5-difluorophenyl)-N'-[3-[2-[2-[[2-(1-pyrrolidinyl)ethyl]amino]-5-pyrimidinyl]ethynyl]phenyl]- (CA INDEX NAME)





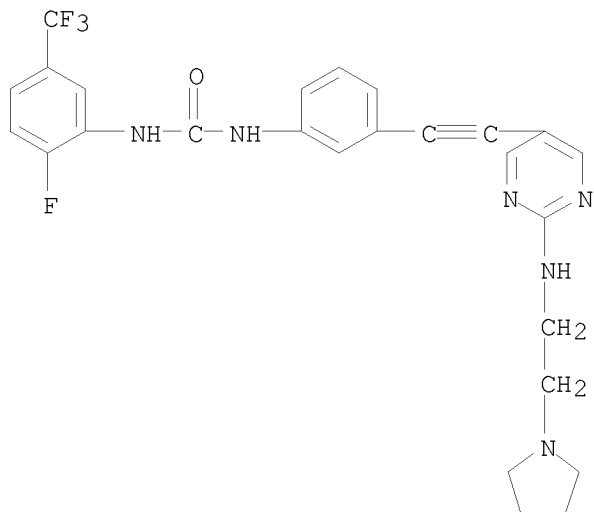
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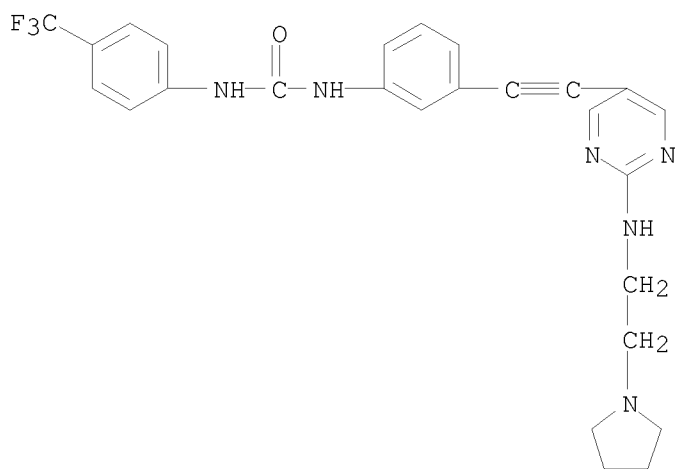
RN 857265-54-4 CAPLUS

CN Urea, N-[2-fluoro-5-(trifluoromethyl)phenyl]-N'-[3-[2-[2-[[2-(1-pyrrolidinyl)ethyl]amino]-5-pyrimidinyl]ethynyl]phenyl]- (CA INDEX NAME)



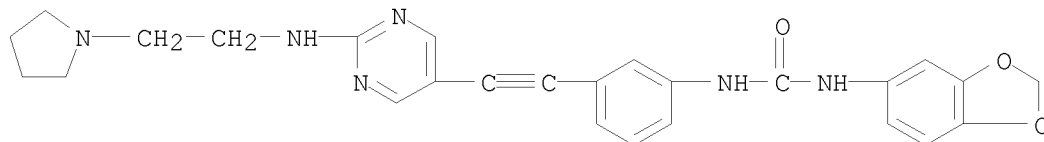
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CN Urea, N-[3-[2-[2-[[2-(1-pyrrolidinyl)ethyl]amino]-5-pyrimidinyl]ethynyl]phenyl]-N'-[4-(trifluoromethyl)phenyl]- (CA INDEX NAME)



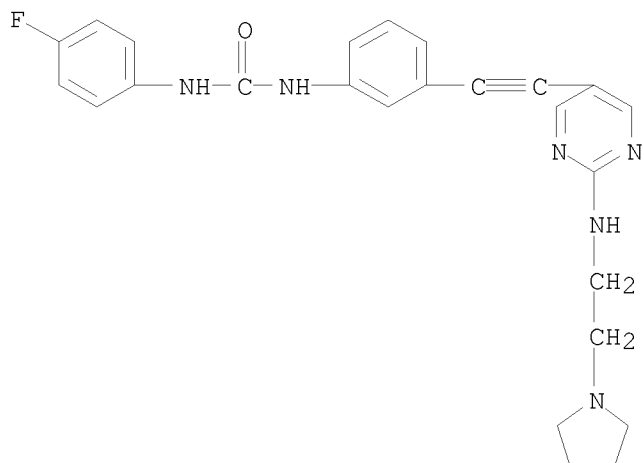
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CN Urea, N-1,3-benzodioxol-5-yl-N'-[3-[2-[2-[[2-(1-pyrrolidinyl)ethyl]amino]-5-pyrimidinyl]ethynyl]phenyl]- (CA INDEX NAME)



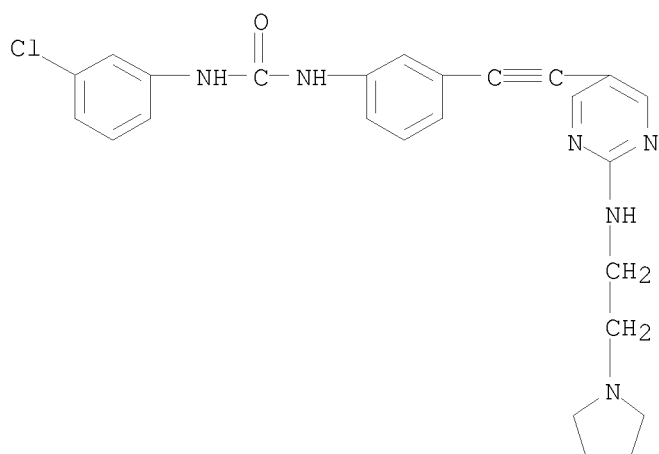
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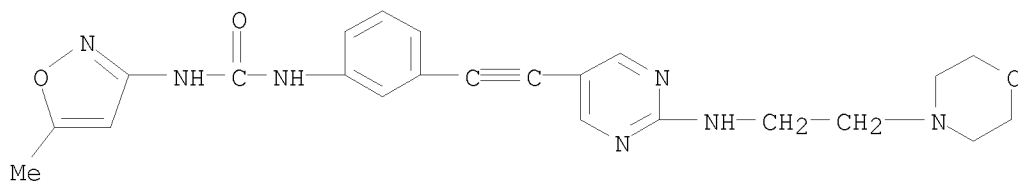
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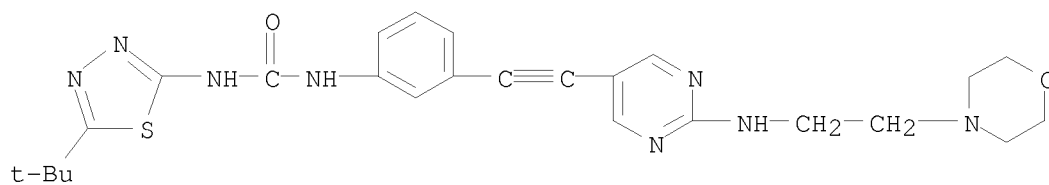
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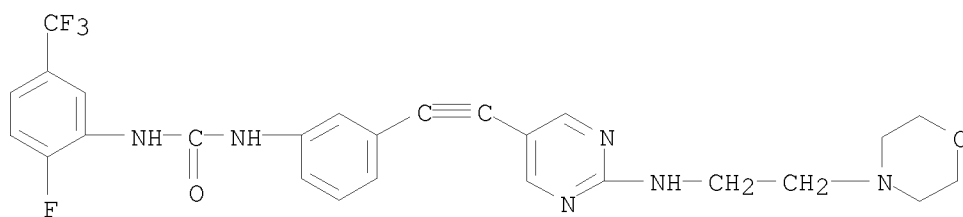
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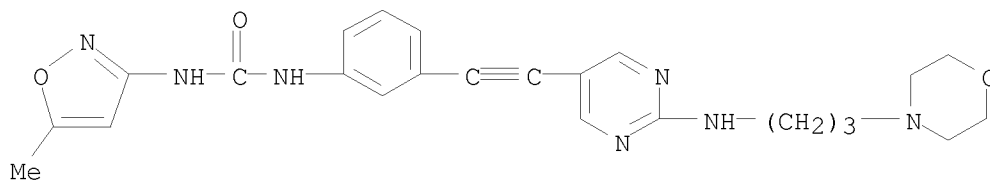
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CN Urea, N-[2-fluoro-5-(trifluoromethyl)phenyl]-N'-[3-[2-[2-[[2-(4-morpholinyl)ethyl]amino]-5-pyrimidinyl]ethynyl]phenyl]- (CA INDEX NAME)



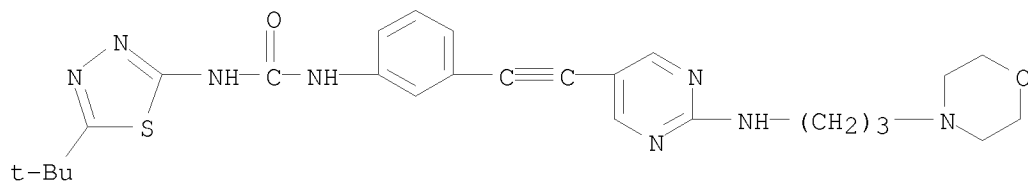
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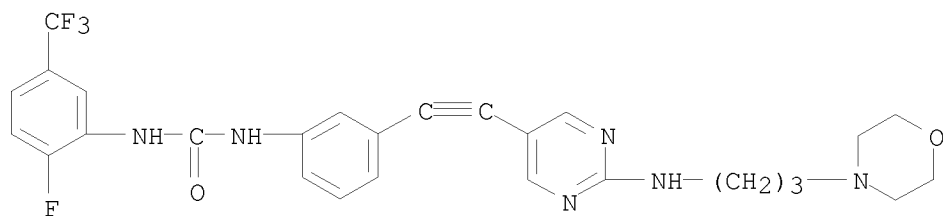
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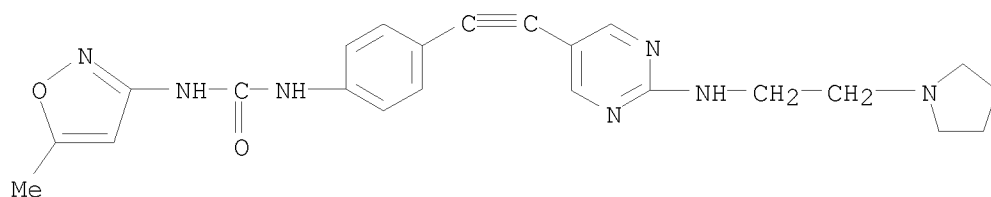
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CN Urea, N-[2-fluoro-5-(trifluoromethyl)phenyl]-N'-[3-[2-[2-[[3-(4-morpholinyl)propyl]amino]-5-pyrimidinyl]ethynyl]phenyl]- (CA INDEX NAME)



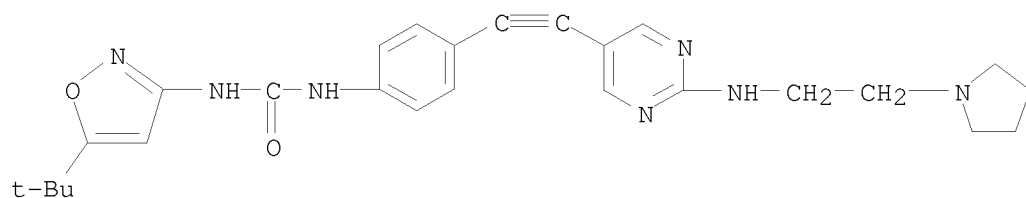
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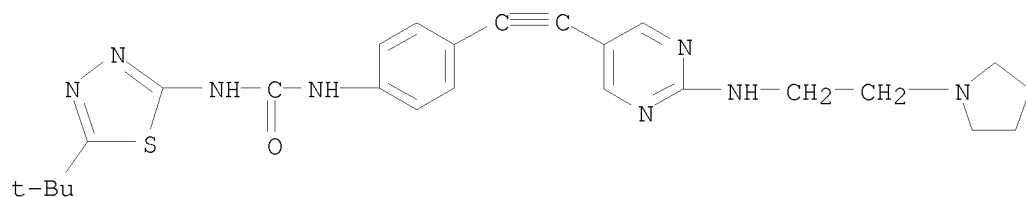
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CN Urea, N-[5-(1,1-dimethylethyl)-3-isoxazolyl]-N'-[4-[2-[2-[[2-(1-pyrrolidinyl)ethyl]amino]-5-pyrimidinyl]ethynyl]phenyl]- (CA INDEX NAME)



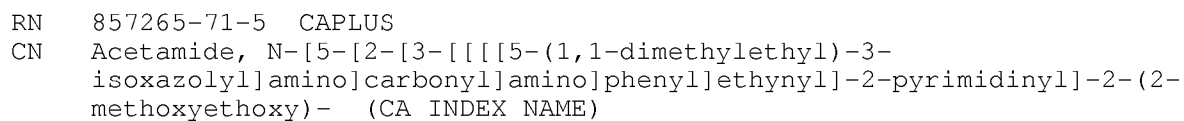
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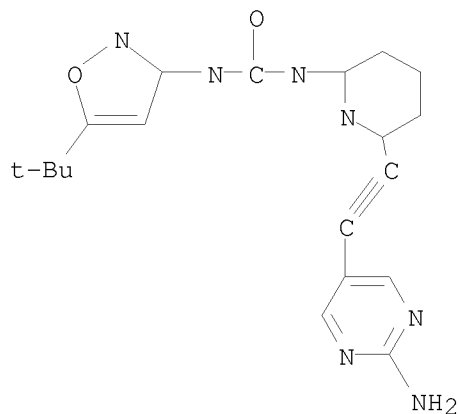


RN 857265-70-4 CAPLUS

CN Urea, N-[2-fluoro-5-(trifluoromethyl)phenyl]-N'-[4-[2-[2-[[2-(1-pyrrolidinyl)ethyl]amino]-5-pyrimidinyl]ethynyl]phenyl]- (CA INDEX NAME)

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$$-\text{CH}_2-\text{OMe}$$

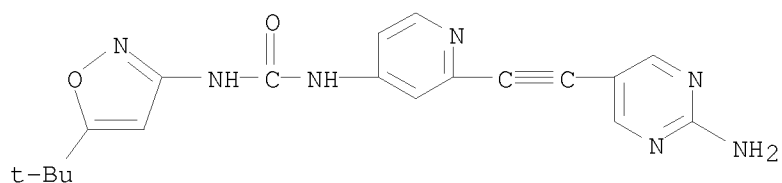
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CN	Urea, N-[6-[2-(2-amino-5-pyrimidinyl)ethynyl]-2-pyridinyl]-N'-[5-(1,1-dimethylethyl)-3-isoxazolyl]- (CA INDEX NAME)	



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

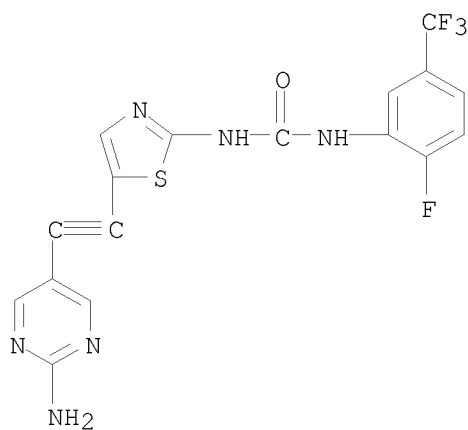
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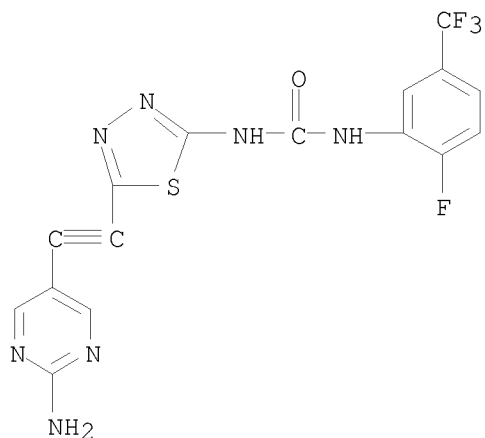
RN 857265-78-2 CAPLUS

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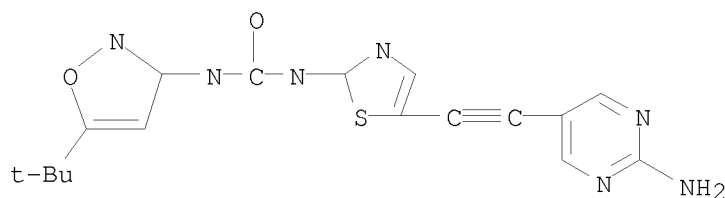
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CN Urea, N-[5-[2-(2-amino-5-pyrimidinyl)ethynyl]-1,3,4-thiadiazol-2-yl]-N'-[2-fluoro-5-(trifluoromethyl)phenyl]- (CA INDEX NAME)



RN 857265-82-8 CAPLUS

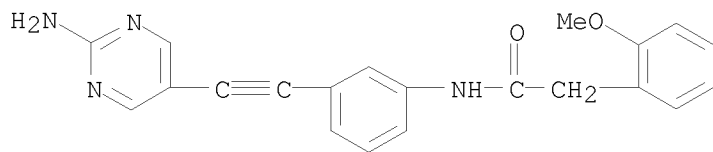
CN Urea, N-[5-[2-(2-amino-5-pyrimidinyl)ethynyl]-2-thiazolyl]-N'-[5-(1,1-dimethylethyl)-3-isoxazolyl]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

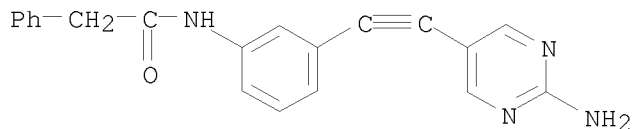
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CN Benzeneacetamide, N-[3-[2-(2-amino-5-pyrimidinyl)ethynyl]phenyl]-2-methoxy-(CA INDEX NAME)



RN 857265-85-1 CAPLUS

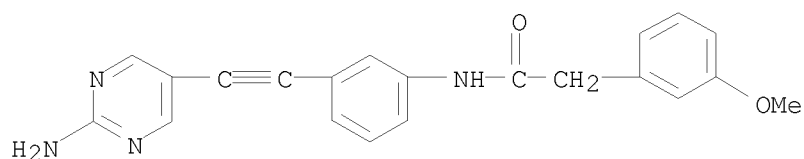
CN Benzeneacetamide, N-[3-[2-(2-amino-5-pyrimidinyl)ethynyl]phenyl]- (CA INDEX NAME)



RN 857265-86-2 CAPLUS

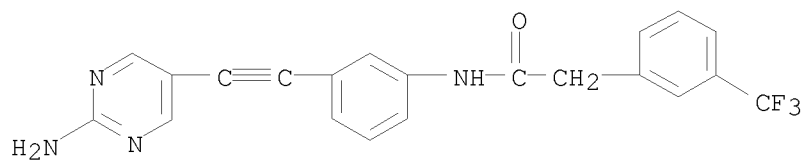
CN Benzeneacetamide, N-[3-[2-(2-amino-5-pyrimidinyl)ethynyl]phenyl]-3-methoxy-(CA INDEX NAME)





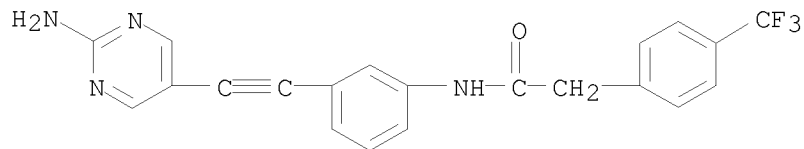
RN 857265-87-3 CAPLUS

CN Benzeneacetamide, N-[3-[2-(2-amino-5-pyrimidinyl)ethynyl]phenyl]-3-(trifluoromethyl)- (CA INDEX NAME)



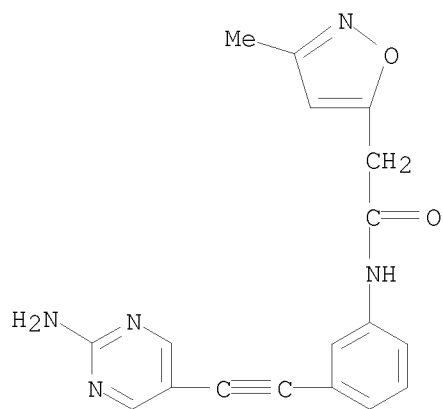
RN 857265-88-4 CAPLUS

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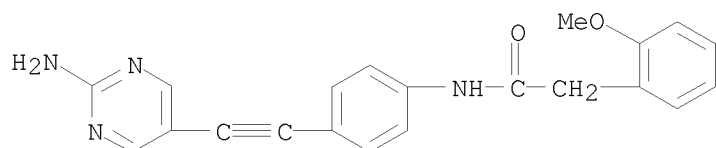
RN 857265-89-5 CAPLUS

CN 5-Isoxazoleacetamide, N-[3-[2-(2-amino-5-pyrimidinyl)ethynyl]phenyl]-3-methyl- (CA INDEX NAME)



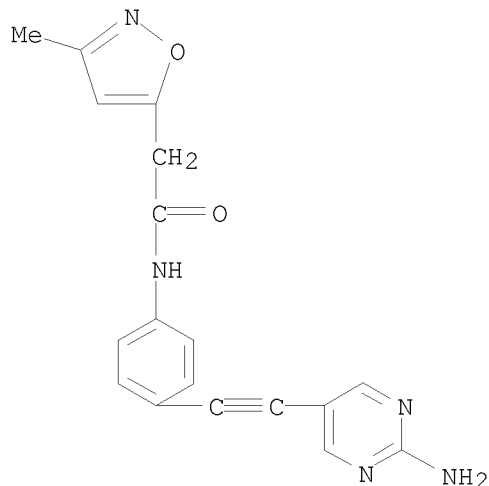
RN 857265-91-9 CAPLUS

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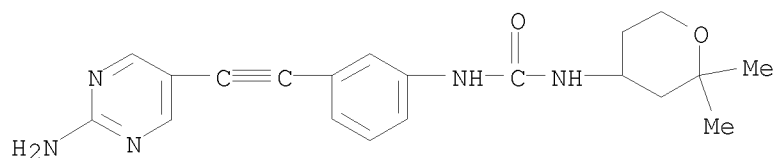
RN 857265-92-0 CAPLUS

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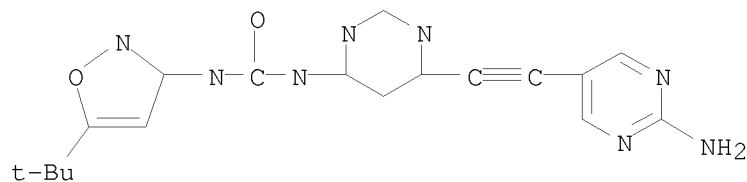
RN 857265-93-1 CAPLUS

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RN 857265-94-2 CAPLUS

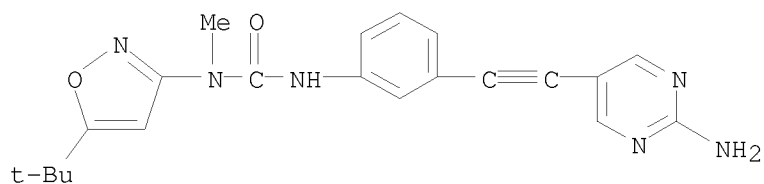
CN Urea, N-[6-[2-(2-amino-5-pyrimidinyl)ethynyl]-4-pyrimidinyl]-N'-(5-(1,1-dimethylethyl)-3-isoxazolyl)- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

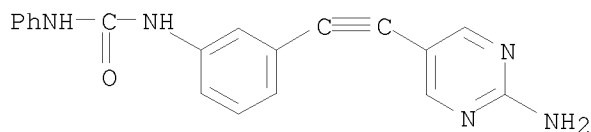
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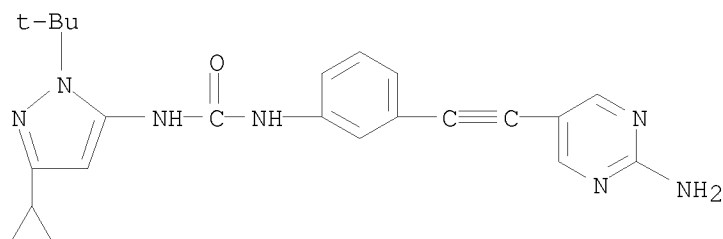
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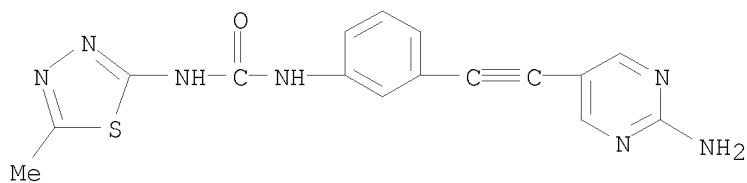
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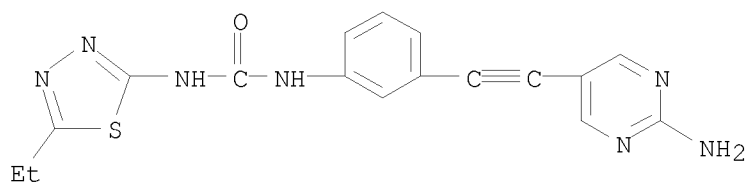
RN 857265-99-7 CAPLUS

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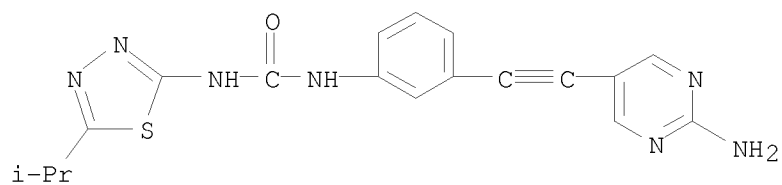
RN 857266-00-3 CAPLUS

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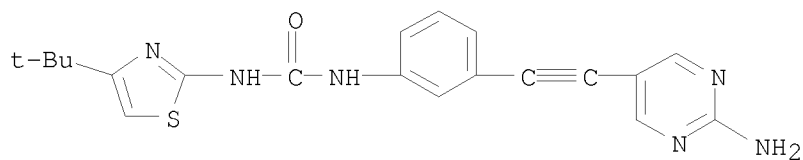
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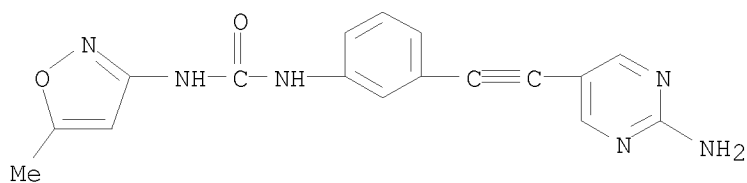
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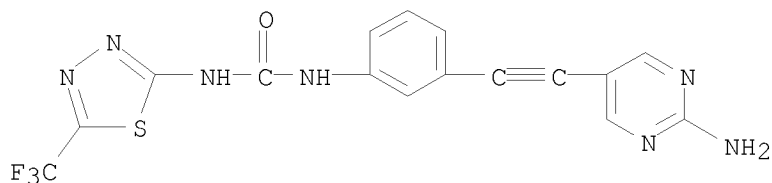
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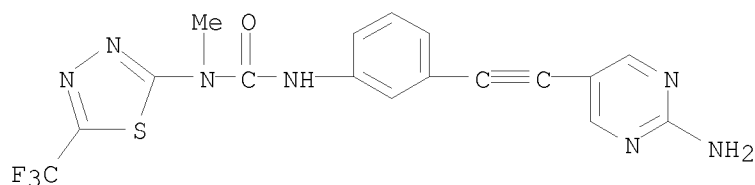
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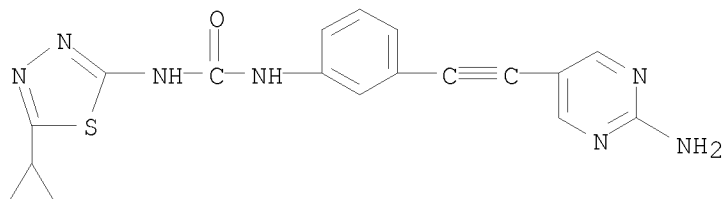
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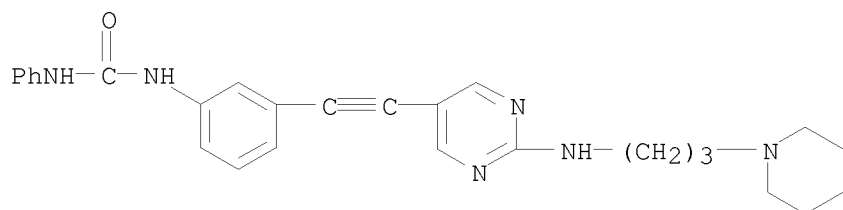
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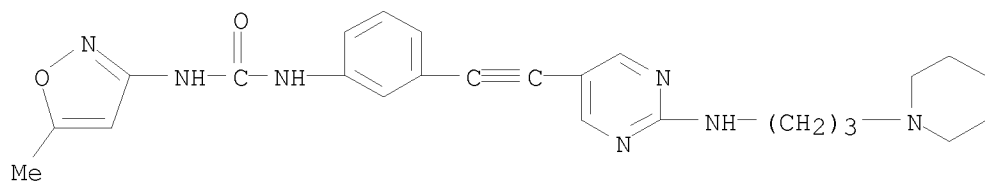
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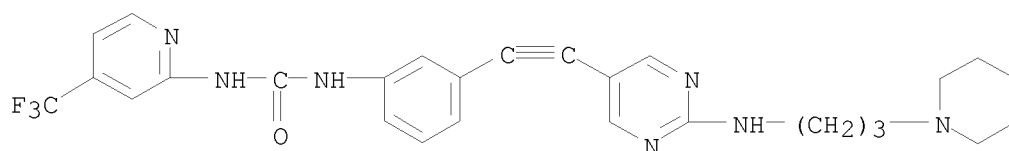
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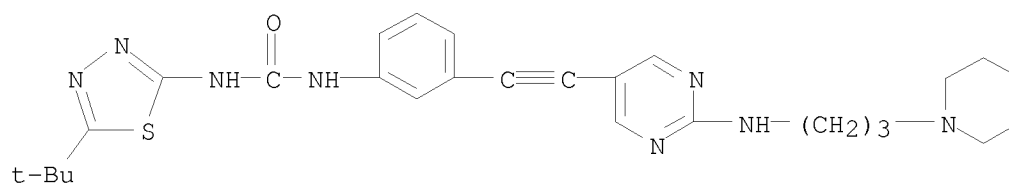
RN 857266-10-5 CAPLUS

CN Urea, N-[3-[2-[2-[3-(1-piperidiny)propyl]amino]-5-pyrimidinyl]ethynyl]phenyl]-N'-[4-(trifluoromethyl)-2-pyridinyl]- (CA INDEX NAME)



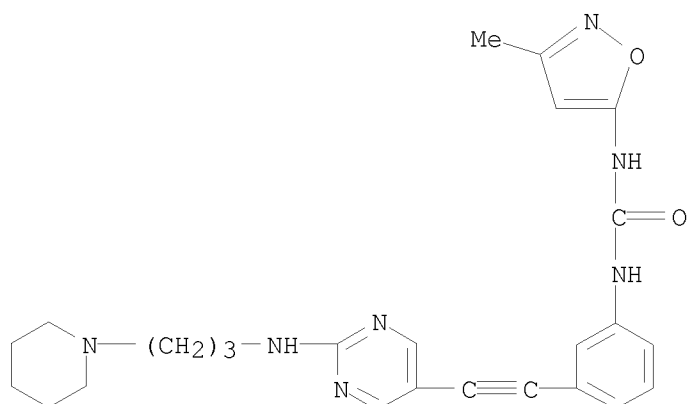
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CN Urea, N-[5-(1,1-dimethylethyl)-1,3,4-thiadiazol-2-yl]-N'-[3-[2-[2-[[3-(1-piperidinyl)propyl]amino]-5-pyrimidinyl]ethynyl]phenyl]- (CA INDEX NAME)



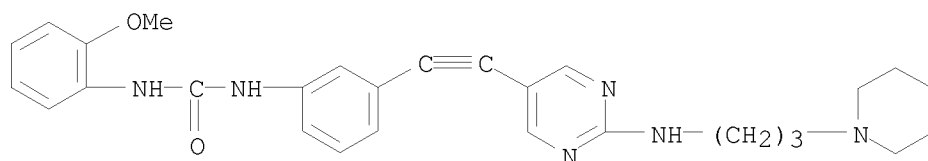
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CN Urea, N-(3-methyl-5-isoxazolyl)-N'-[3-[2-[2-[[3-(1-piperidinyl)propyl]amino]-5-pyrimidinyl]ethynyl]phenyl]- (CA INDEX NAME)



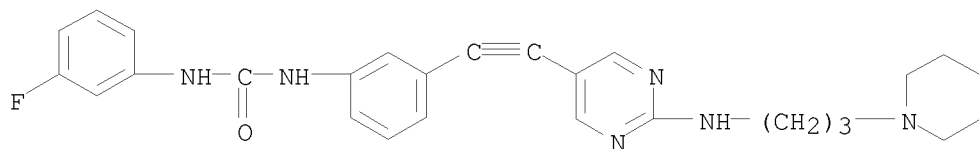
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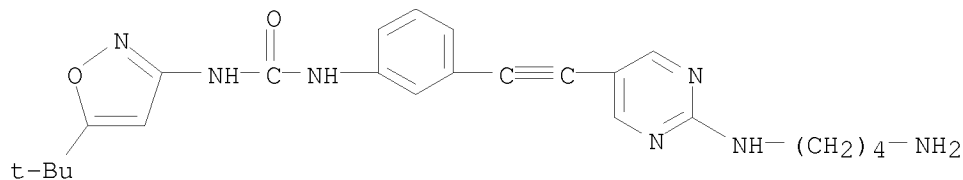
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CN Urea, N-(3-fluorophenyl)-N'-[3-[2-[2-[[3-(1-piperidinyl)propyl]amino]-5-pyrimidinyl]ethynyl]phenyl]- (CA INDEX NAME)



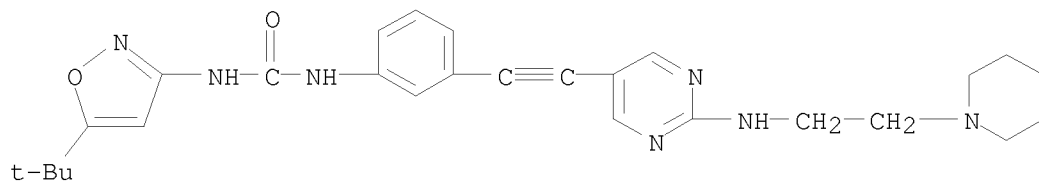
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CN Urea, N-[3-[2-[2-[(4-aminobutyl)amino]-5-pyrimidinyl]ethynyl]phenyl]-N'-[5-(1,1-dimethylethyl)-3-isoxazolyl]- (CA INDEX NAME)



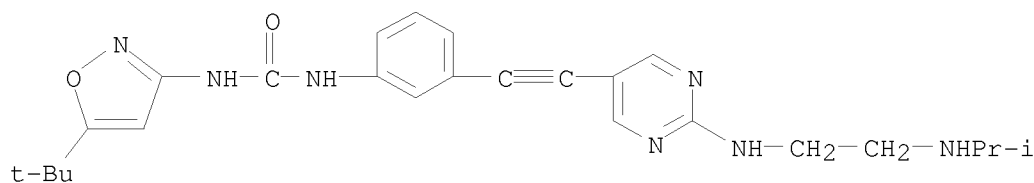
RN 857266-16-1 CAPLUS

CN Urea, N-[5-(1,1-dimethylethyl)-3-isoxazolyl]-N'-[3-[2-[2-[[2-(1-piperidinyl)ethyl]amino]-5-pyrimidinyl]ethynyl]phenyl]- (CA INDEX NAME)



RN 857266-17-2 CAPLUS

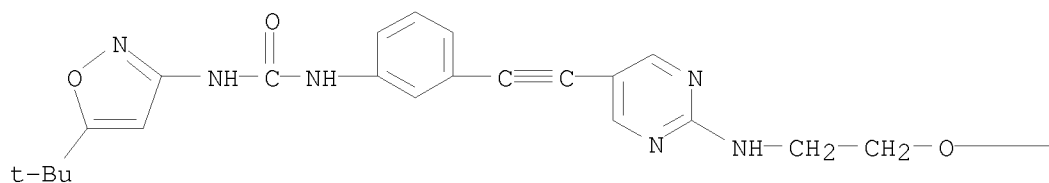
CN Urea, N-[5-(1,1-dimethylethyl)-3-isoxazolyl]-N'-[3-[2-[2-[[2-(1-methylethyl)amino]ethyl]amino]-5-pyrimidinyl]ethynyl]phenyl]- (CA INDEX NAME)

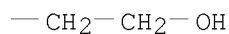


RN 857266-18-3 CAPLUS

CN Urea, N-[5-(1,1-dimethylethyl)-3-isoxazolyl]-N'-[3-[2-[2-[[2-(2-hydroxyethoxy)ethyl]amino]-5-pyrimidinyl]ethynyl]phenyl]- (CA INDEX NAME)

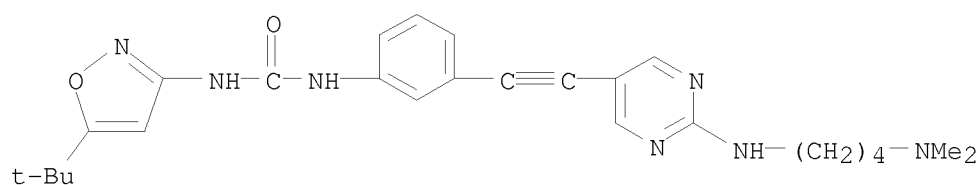
PAGE 1-A





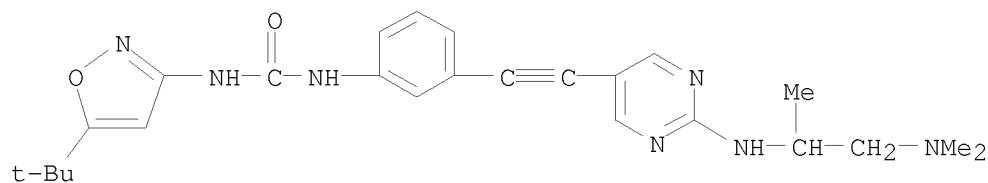
RN 857266-19-4 CAPLUS

CN Urea, N-[3-[2-[2-[[4-(dimethylamino)butyl]amino]-5-pyrimidinyl]ethynyl]phenyl]-N'-[5-(1,1-dimethylethyl)-3-isoxazolyl]- (CA INDEX NAME)



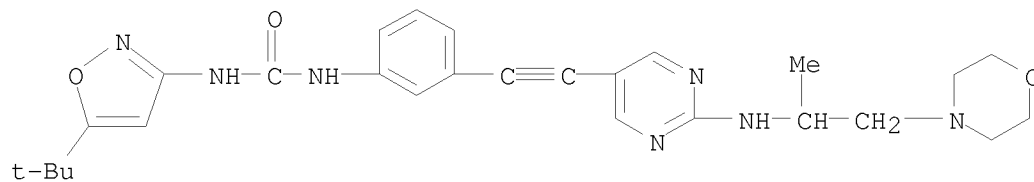
RN 857266-20-7 CAPLUS

CN Urea, N-[3-[2-[2-[[2-(dimethylamino)-1-methylethyl]amino]-5-pyrimidinyl]ethynyl]phenyl]-N'-[5-(1,1-dimethylethyl)-3-isoxazolyl]- (CA INDEX NAME)



RN 857266-21-8 CAPLUS

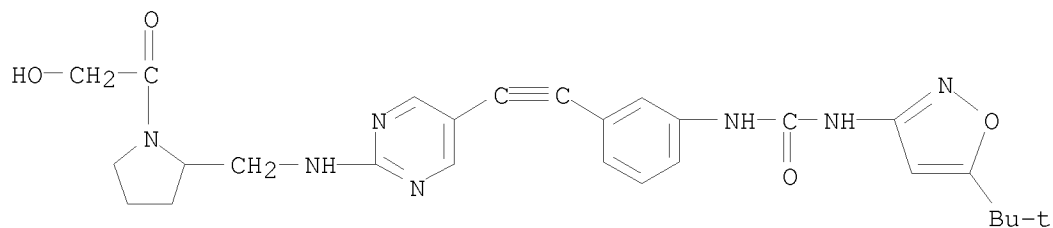
CN Urea, N-[5-(1,1-dimethylethyl)-3-isoxazolyl]-N'-[3-[2-[2-[[1-methyl-2-(4-morpholinyl)ethyl]amino]-5-pyrimidinyl]ethynyl]phenyl]- (CA INDEX NAME)



RN 857266-22-9 CAPLUS

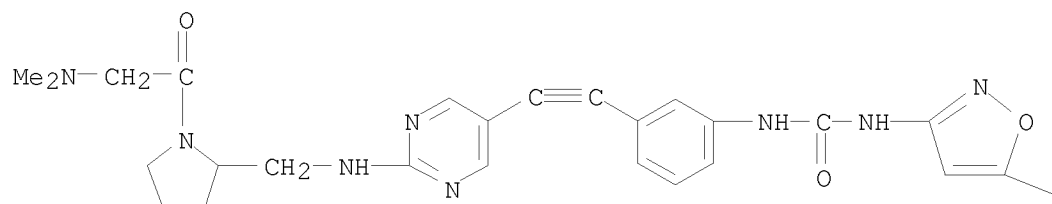
CN Urea, N-[5-(1,1-dimethylethyl)-3-isoxazolyl]-N'-[3-[2-[2-[[[1-(2-hydroxyacetyl)-2-pyrrolidinyl]methyl]amino]-5-pyrimidinyl]ethynyl]phenyl]- (CA INDEX NAME)





RN 857266-25-2 CAPLUS  
 CN Urea, N-[3-[2-[2-[[1-[2-(dimethylamino)acetyl]-2-pyrrolidinyl]methyl]amino]-5-pyrimidinyl]ethynyl]phenyl]-N'-[5-(1,1-dimethylethyl)-3-isoxazolyl]- (CA INDEX NAME)

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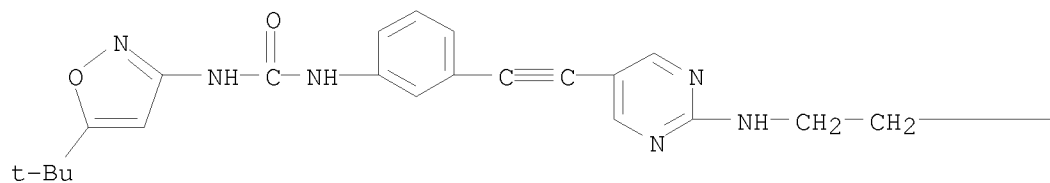


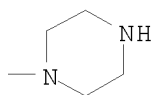
PAGE 1-B

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RN 857266-26-3 CAPLUS  
 CN Urea, N-[5-(1,1-dimethylethyl)-3-isoxazolyl]-N'-[3-[2-[2-[[2-(1-piperazinyl)ethyl]amino]-5-pyrimidinyl]ethynyl]phenyl]- (CA INDEX NAME)

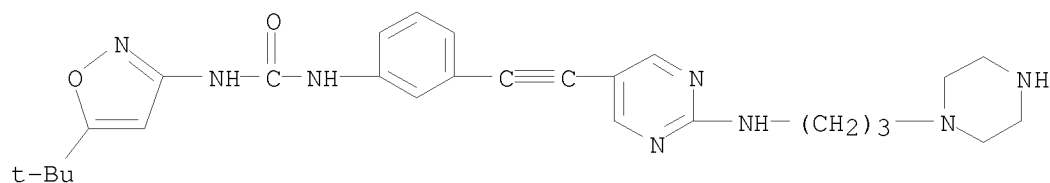
PAGE 1-A





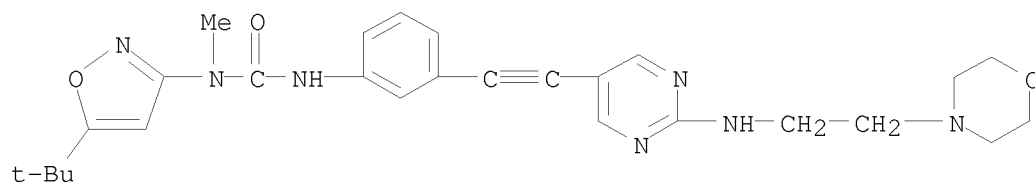
RN 857266-27-4 CAPLUS

CN Urea, N-[5-(1,1-dimethylethyl)-3-isoxazolyl]-N'-[3-[2-[2-[[3-(1-piperazinyl)propyl]amino]-5-pyrimidinyl]ethynyl]phenyl]- (CA INDEX NAME)



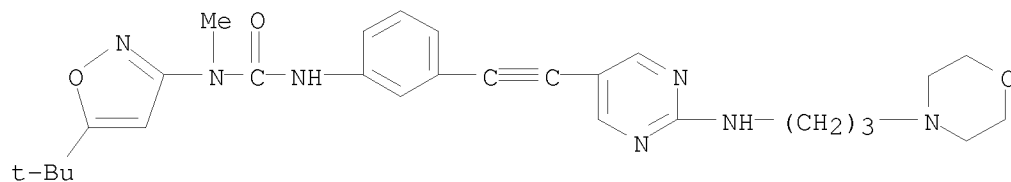
RN 857266-29-6 CAPLUS

CN Urea, N-[5-(1,1-dimethylethyl)-3-isoxazolyl]-N-methyl-N'-[3-[2-[2-[[2-(4-morpholinyl)ethyl]amino]-5-pyrimidinyl]ethynyl]phenyl]- (CA INDEX NAME)



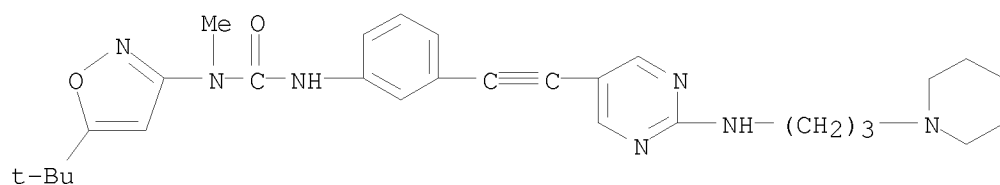
RN 857266-32-1 CAPLUS

CN Urea, N-[5-(1,1-dimethylethyl)-3-isoxazolyl]-N-methyl-N'-[3-[2-[2-[[3-(4-morpholinyl)propyl]amino]-5-pyrimidinyl]ethynyl]phenyl]- (CA INDEX NAME)



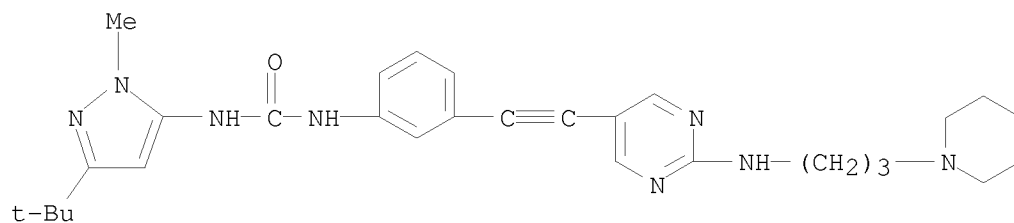
RN 857266-33-2 CAPLUS

CN Urea, N-[5-(1,1-dimethylethyl)-3-isoxazolyl]-N-methyl-N'-[3-[2-[2-[[3-(1-piperidinyl)propyl]amino]-5-pyrimidinyl]ethynyl]phenyl]- (CA INDEX NAME)



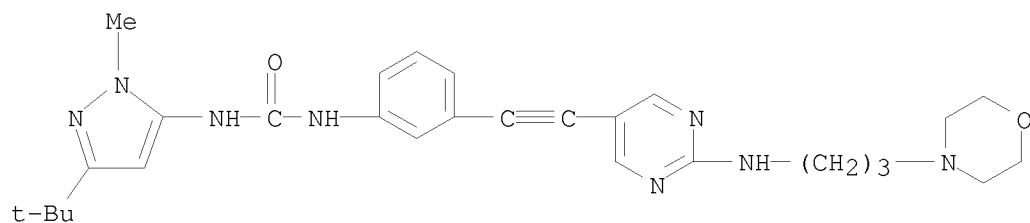
RN 857266-34-3 CAPLUS

CN Urea, N-[3-(1,1-dimethylethyl)-1-methyl-1H-pyrazol-5-yl]-N'-[3-[2-[2-[[3-(1-piperidiny)propyl]amino]-5-pyrimidinyl]ethynyl]phenyl]- (CA INDEX NAME)



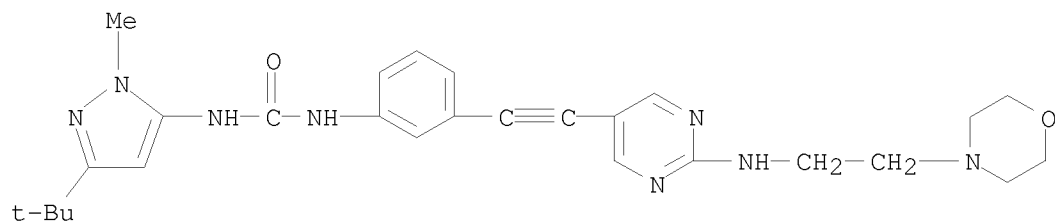
RN 857266-36-5 CAPLUS

CN Urea, N-[3-(1,1-dimethylethyl)-1-methyl-1H-pyrazol-5-yl]-N'-[3-[2-[2-[[3-(4-morpholinyl)propyl]amino]-5-pyrimidinyl]ethynyl]phenyl]- (CA INDEX NAME)



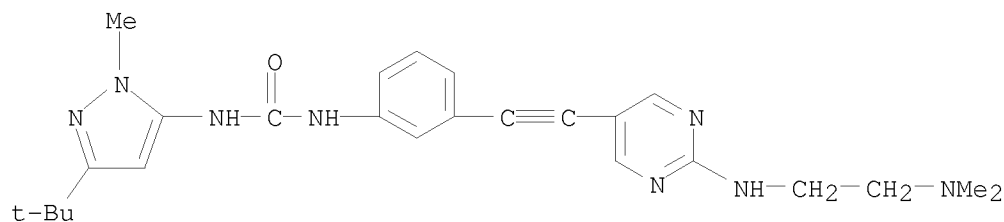
RN 857266-37-6 CAPLUS

CN Urea, N-[3-(1,1-dimethylethyl)-1-methyl-1H-pyrazol-5-yl]-N'-[3-[2-[2-[[2-(4-morpholinyl)ethyl]amino]-5-pyrimidinyl]ethynyl]phenyl]- (CA INDEX NAME)



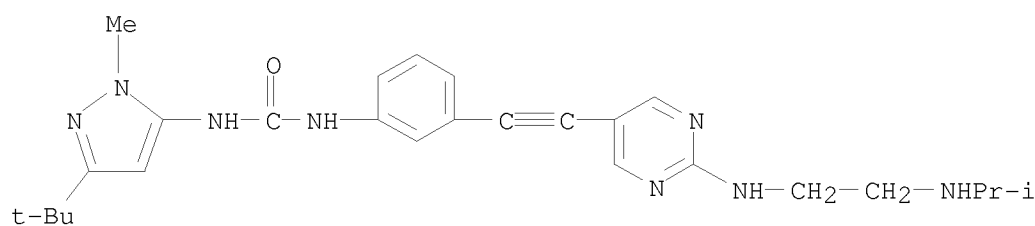
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CN Urea, N-[3-[2-[2-[[2-(dimethylamino)ethyl]amino]-5-pyrimidinyl]ethynyl]phenyl]-N'-[3-(1,1-dimethylethyl)-1-methyl-1H-pyrazol-5-yl]- (CA INDEX NAME)



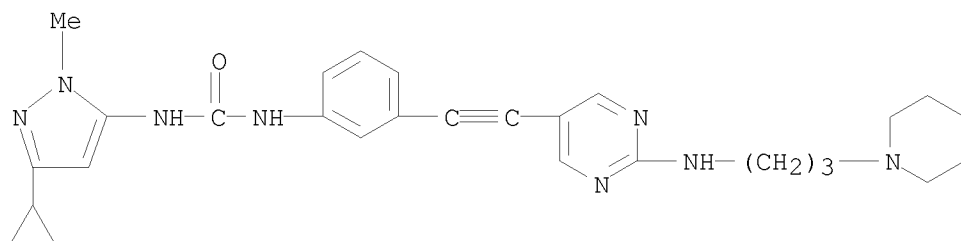
RN 857266-39-8 CAPLUS

CN Urea, N-[3-(1,1-dimethylethyl)-1-methyl-1H-pyrazol-5-yl]-N'-[3-[2-[2-[[2-[(1-methylethyl)amino]ethyl]amino]-5-pyrimidinyl]ethynyl]phenyl]- (CA INDEX NAME)



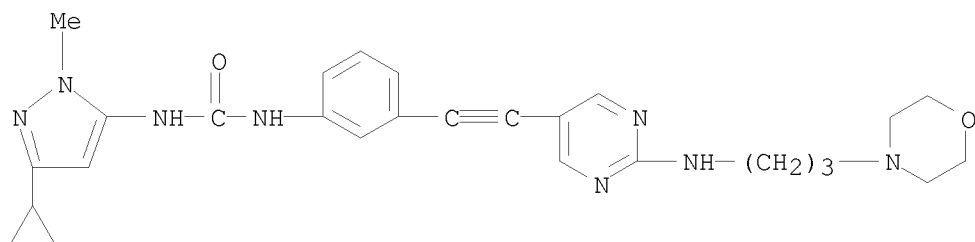
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CN Urea, N-(3-cyclopropyl-1-methyl-1H-pyrazol-5-yl)-N'-[3-[2-[2-[[3-(1-piperidinyl)propyl]amino]-5-pyrimidinyl]ethynyl]phenyl]- (CA INDEX NAME)



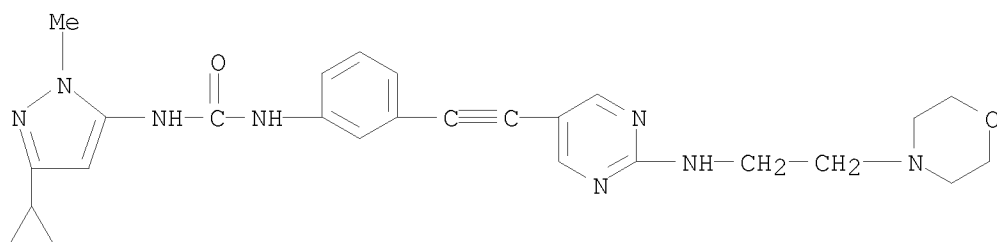
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CN Urea, N-(3-cyclopropyl-1-methyl-1H-pyrazol-5-yl)-N'-[3-[2-[2-[[3-(4-morpholinyl)propyl]amino]-5-pyrimidinyl]ethynyl]phenyl]- (CA INDEX NAME)



RN 857266-43-4 CAPLUS

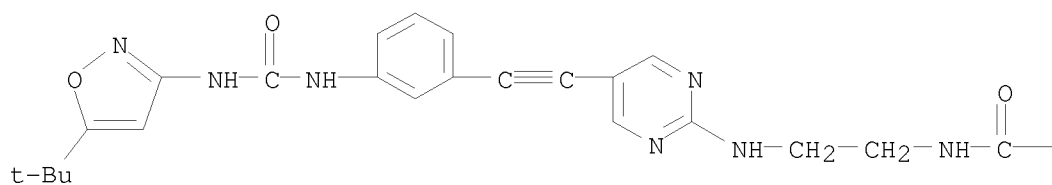
CN Urea, N-(3-cyclopropyl-1-methyl-1H-pyrazol-5-yl)-N'-[3-[2-[2-[[2-(4-morpholinyl)ethyl]amino]-5-pyrimidinyl]ethynyl]phenyl]- (CA INDEX NAME)



RN 857266-44-5 CAPLUS

CN Acetamide, N-[2-[[5-[2-[3-[[[5-(1,1-dimethylethyl)-3-isoxazolyl]amino]carbonyl]amino]phenyl]ethynyl]-2-pyrimidinyl]amino]ethyl]-2-hydroxy- (CA INDEX NAME)

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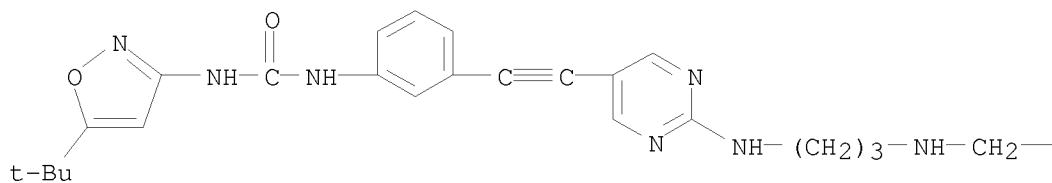
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RN 857266-45-6 CAPLUS

CN Urea, N-[5-(1,1-dimethylethyl)-3-isoxazolyl]-N'-[3-[2-[2-[[3-[(2-hydroxyethyl)amino]propyl]amino]-5-pyrimidinyl]ethynyl]phenyl]- (CA INDEX NAME)

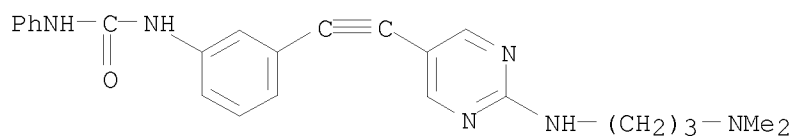
PAGE 1-A



—CH<sub>2</sub>—OH

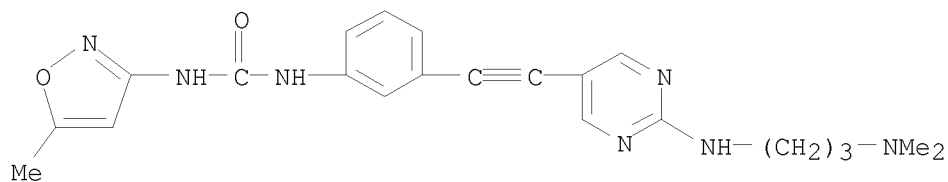
RN 857266-48-9 CAPLUS

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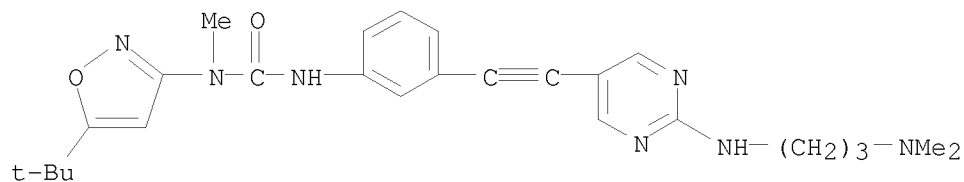
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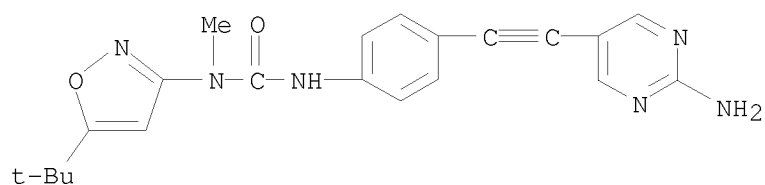
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CN Urea, N'-[3-[2-[2-[3-(dimethylamino)propyl]amino]-5-pyrimidinyl]ethynyl]phenyl]-N-[5-(1,1-dimethylethyl)-3-isoxazolyl]-N-methyl- (CA INDEX NAME)



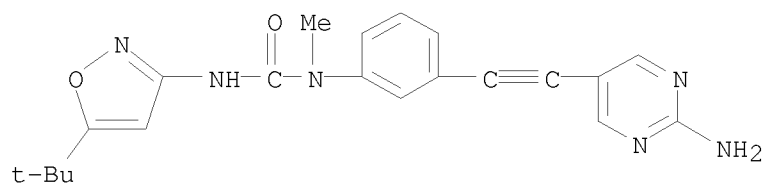
RN 857266-51-4 CAPLUS

CN Urea, N'-[4-[2-(2-amino-5-pyrimidinyl)ethynyl]phenyl]-N-[5-(1,1-dimethylethyl)-3-isoxazolyl]-N-methyl- (CA INDEX NAME)



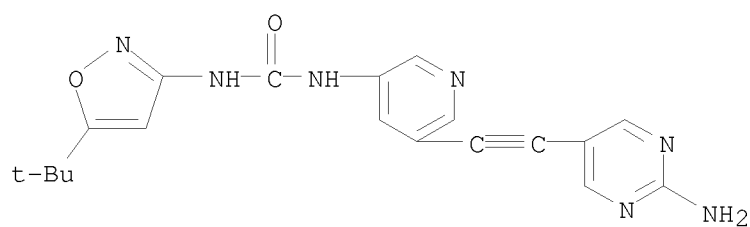
RN 857266-53-6 CAPLUS

CN Urea, N-[3-[2-(2-amino-5-pyrimidinyl)ethynyl]phenyl]-N'-[5-(1,1-dimethylethyl)-3-isoxazolyl]-N-methyl- (CA INDEX NAME)



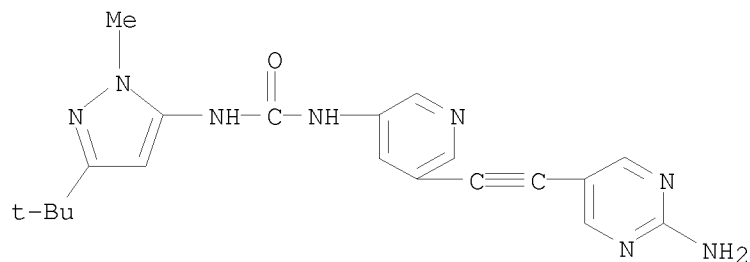
RN 857266-57-0 CAPLUS

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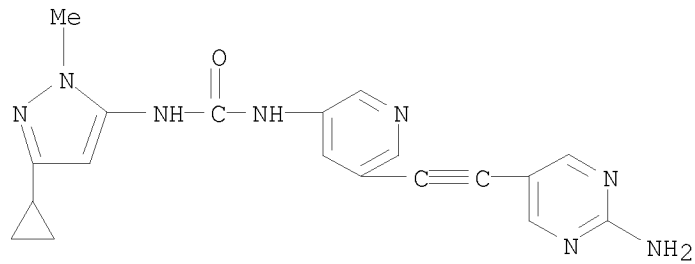
RN 857266-61-6 CAPLUS

CN Urea, N-[5-[2-(2-amino-5-pyrimidinyl)ethynyl]-3-pyridinyl]-N'-[3-(1,1-dimethylethyl)-1-methyl-1H-pyrazol-5-yl]- (CA INDEX NAME)



RN 857266-63-8 CAPLUS

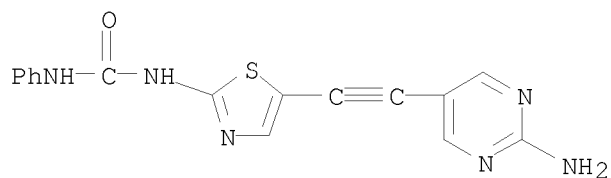
CN Urea, N-[5-[2-(2-amino-5-pyrimidinyl)ethynyl]-3-pyridinyl]-N'-(3-cyclopropyl-1-methyl-1H-pyrazol-5-yl)- (CA INDEX NAME)



RN 857266-64-9 CAPLUS

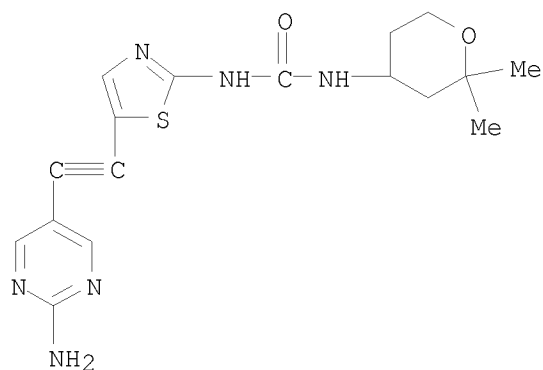
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INDEX NAME)



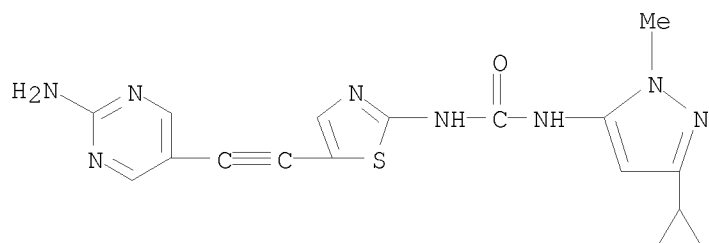
RN 857266-65-0 CAPLUS

CN Urea, N-[5-[2-(2-amino-5-pyrimidinyl)ethynyl]-2-thiazolyl]-N'-(tetrahydro-2,2-dimethyl-2H-pyran-4-yl)- (CA INDEX NAME)



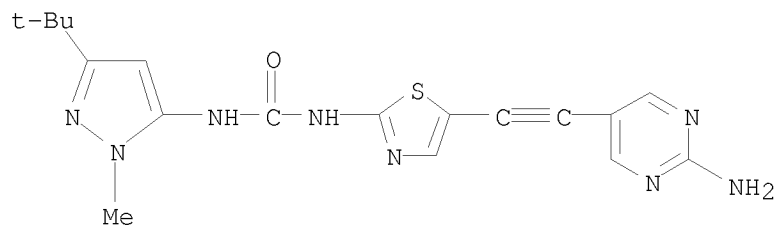
RN 857266-67-2 CAPLUS

CN Urea, N-[5-[2-(2-amino-5-pyrimidinyl)ethynyl]-2-thiazolyl]-N'-(3-cyclopropyl-1-methyl-1H-pyrazol-5-yl)- (CA INDEX NAME)



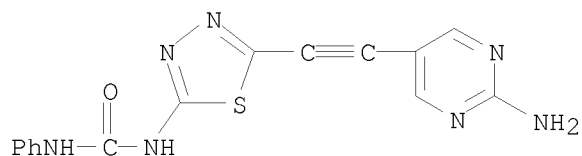
RN 857266-70-7 CAPLUS

CN Urea, N-[5-[2-(2-amino-5-pyrimidinyl)ethynyl]-2-thiazolyl]-N'-(3-(1,1-dimethylethyl)-1-methyl-1H-pyrazol-5-yl)- (CA INDEX NAME)

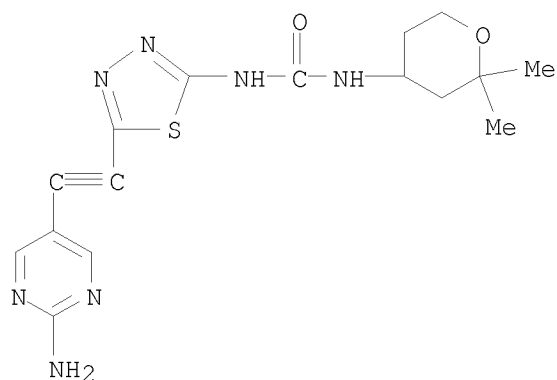




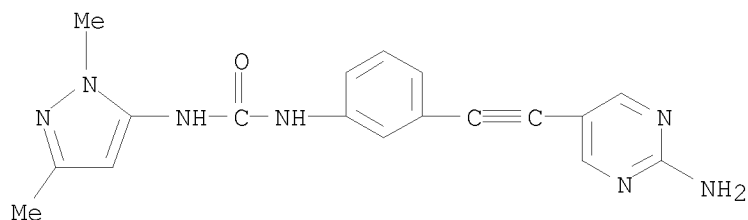
RN 857266-74-1 CAPLUS  
 CN Urea, N-[5-[2-(2-amino-5-pyrimidinyl)ethynyl]-1,3,4-thiadiazol-2-yl]-N'-phenyl- (CA INDEX NAME)



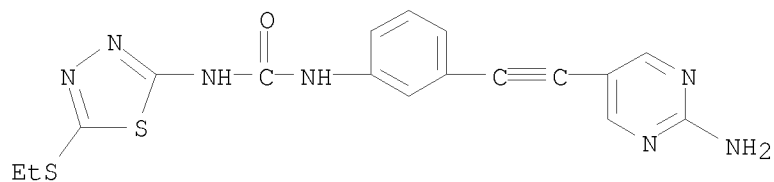
RN 857266-78-5 CAPLUS  
 CN Urea, N-[5-[2-(2-amino-5-pyrimidinyl)ethynyl]-1,3,4-thiadiazol-2-yl]-N'-(tetrahydro-2,2-dimethyl-2H-pyran-4-yl)- (CA INDEX NAME)



RN 857266-82-1 CAPLUS  
 CN Urea, N-[3-[2-(2-amino-5-pyrimidinyl)ethynyl]phenyl]-N'-(1,3-dimethyl-1H-pyrazol-5-yl)- (CA INDEX NAME)

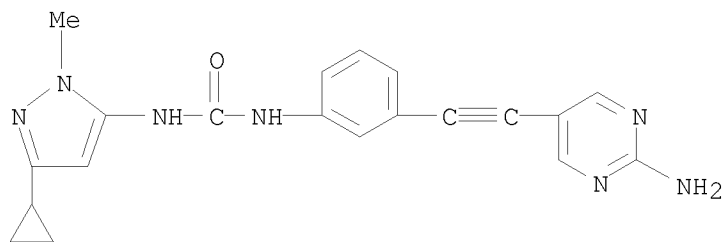


RN 857266-84-3 CAPLUS  
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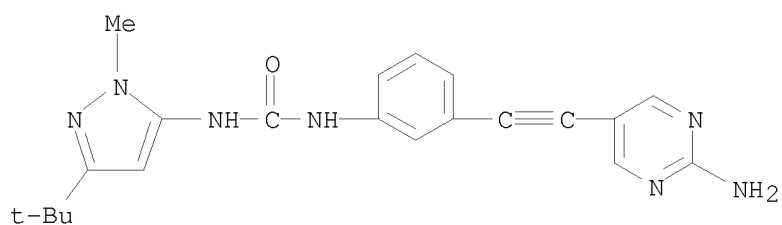
RN 857266-86-5 CAPLUS

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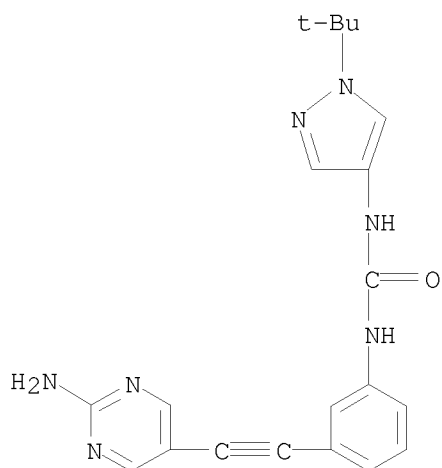
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CN Urea, N-[3-[2-(2-amino-5-pyrimidinyl)ethynyl]phenyl]-N'-[3-(1,1-dimethylethyl)-1-methyl-1H-pyrazol-5-yl]- (CA INDEX NAME)



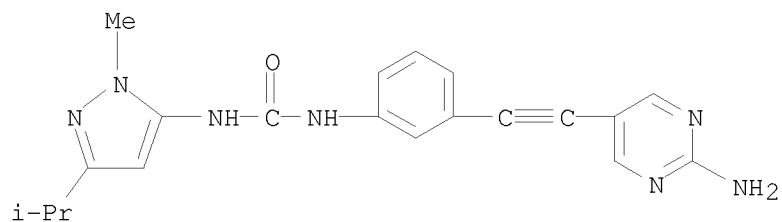
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CN Urea, N-[3-[2-(2-amino-5-pyrimidinyl)ethynyl]phenyl]-N'-[1-(1,1-dimethylethyl)-1H-pyrazol-4-yl]- (CA INDEX NAME)



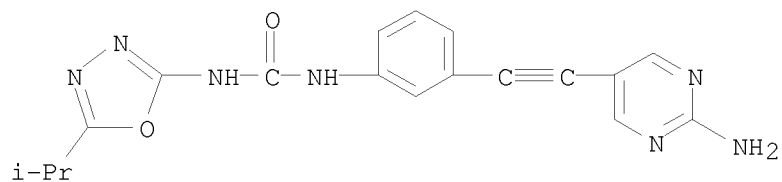
RN 857266-93-4 CAPLUS

CN Urea, N-[3-[2-(2-amino-5-pyrimidinyl)ethynyl]phenyl]-N'-[1-methyl-3-(1-methylethyl)-1H-pyrazol-5-yl]- (CA INDEX NAME)



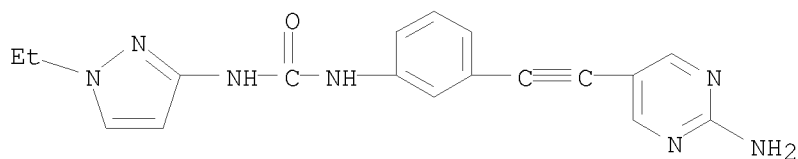
RN 857266-96-7 CAPLUS

CN Urea, N-[3-[2-(2-amino-5-pyrimidinyl)ethynyl]phenyl]-N'-(5-(1-methylethyl)-1,3,4-oxadiazol-2-yl)- (CA INDEX NAME)



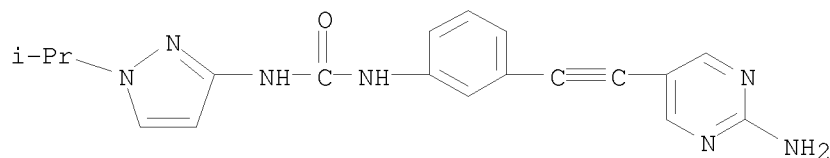
RN 857266-99-0 CAPLUS

CN Urea, N-[3-[2-(2-amino-5-pyrimidinyl)ethynyl]phenyl]-N'-(1-ethyl-1H-pyrazol-3-yl)- (CA INDEX NAME)



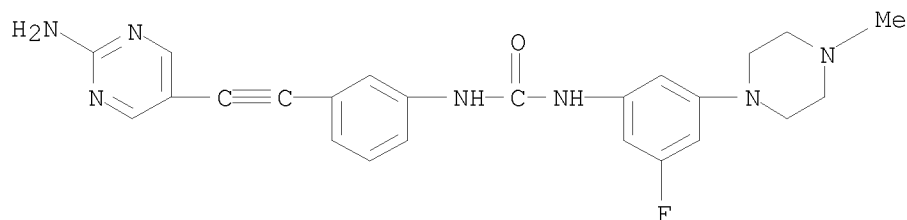
RN 857267-02-8 CAPLUS

CN Urea, N-[3-[2-(2-amino-5-pyrimidinyl)ethynyl]phenyl]-N'-(1-(1-methylethyl)-1H-pyrazol-3-yl)- (CA INDEX NAME)



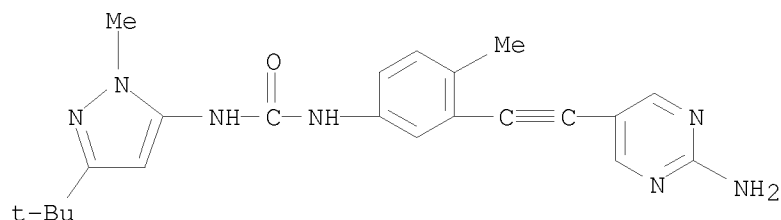
RN 857267-06-2 CAPLUS

CN Urea, N-[3-[2-(2-amino-5-pyrimidinyl)ethynyl]phenyl]-N'-(3-fluoro-5-(4-methyl-1-piperazinyl)phenyl)- (CA INDEX NAME)



RN 857267-09-5 CAPLUS

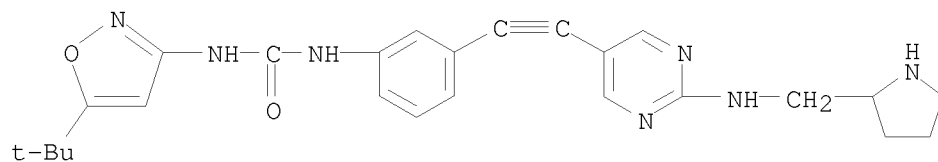
CN Urea, N-[3-[2-(2-amino-5-pyrimidinyl)ethynyl]-4-methylphenyl]-N'-[3-(1,1-dimethylethyl)-1-methyl-1H-pyrazol-5-yl]- (CA INDEX NAME)



IT 857266-23-0P, N-(5-tert-Butylisoxazol-3-yl)-N'-[3-[[2-  
 [[(pyrrolidin-2-yl)methyl]amino]pyrimidin-5-yl]ethynyl]phenyl]urea  
 857266-24-1P, N-(5-tert-Butylisoxazol-3-yl)-N'-[3-[[2-[[1-(tert-  
 butoxycarbonyl)pyrrolidin-2-yl]methyl]amino]pyrimidin-5-  
 yl]ethynyl]phenyl]urea 857266-52-5P, Phenyl [4-[(2-  
 aminopyrimidin-5-yl)ethynyl]phenyl]carbamate  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
 (Reactant or reagent)  
 (preparation of pyrimidine derivs. as inhibitors of Tie2 receptor tyrosine  
 kinases)

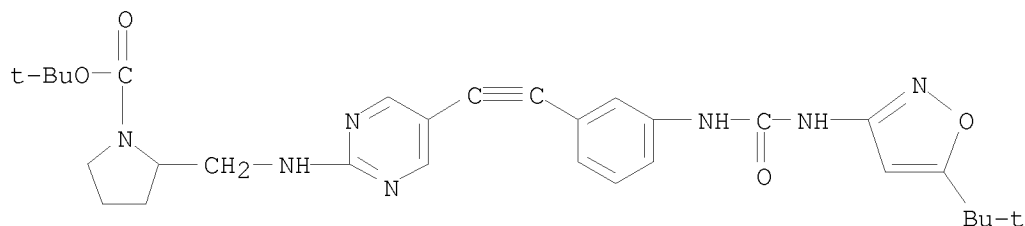
RN 857266-23-0 CAPLUS

CN Urea, N-[5-(1,1-dimethylethyl)-3-isoxazolyl]-N'-[3-[2-[2-[(2-pyrrolidinylmethyl)amino]-5-pyrimidinyl]ethynyl]phenyl]- (CA INDEX NAME)

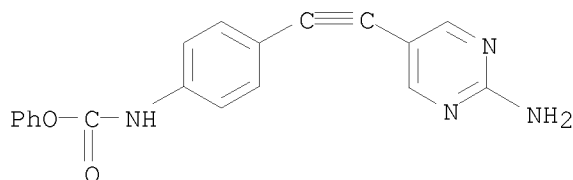


RN 857266-24-1 CAPLUS

CN 1-Pyrrolidinecarboxylic acid, 2-[[[5-[2-[3-[[[5-(1,1-dimethylethyl)-3-isoxazolyl]amino]carbonyl]amino]phenyl]ethynyl]-2-pyrimidinyl]amino]methyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)



RN 857266-52-5 CAPLUS  
 CN Carbamic acid, [4-[(2-amino-5-pyrimidinyl)ethynyl]phenyl]-, phenyl ester  
 (9CI) (CA INDEX NAME)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS  
 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 5 OF 5 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2005:588667 CAPLUS

DOCUMENT NUMBER: 143:115556

TITLE: Preparation of 4-aminopyrimidine derivatives as  
 inhibitors of Tie2 receptor tyrosine kinases

INVENTOR(S): Jones, Clifford David; Luke, Richard William Arthur;  
 McCoull, William

PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Astrazeneca UK Limited

SOURCE: PCT Int. Appl., 129 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

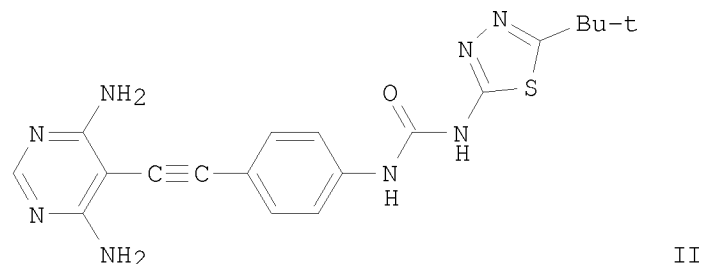
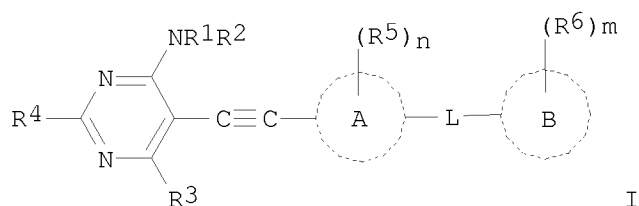
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005060969	A1	20050707	WO 2004-GB5332	20041220
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RW:	BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
EP 1737462	A1	20070103	EP 2004-806134	20041220
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CN 1917880	A	20070221	CN 2004-80041936	20041220
JP 2007517006	T	20070628	JP 2006-546305	20041220

US 20080027076  
IN 2006MN00847  
PRIORITY APPLN. INFO.:

A1 20080131  
A 20070420

US 2006-596740  
IN 2006-MN847  
GB 2003-30001  
GB 2004-16850  
WO 2004-GB5332  
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OTHER SOURCE(S): CASREACT 143:115556; MARPAT 143:115556  
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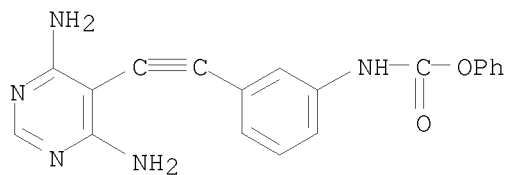


AB Title compds. I [wherein R1, R2 = H, alkyl, alkanoyl; R3, R4 = H, alkyl, alkoxy; R5 = cyclopropyl, halo, cyano; m, n = 0-3; R6 = halo, oxo, cyano; etc., or salts thereof] were prepared as inhibitors of Tie2 receptor tyrosine kinases. Processes for the synthesis of I and some intermediates involved are claimed. For example, urea II was synthesized in 21% yield by condensation of the corresponding aniline with Ph thiadiazolylylcarbamate in the presence of Et3N in THF under microwave irradiation. This urea showed inhibition against Tie2 receptor tyrosine kinase in vitro and inhibition of autophosphorylation of Tie2 receptor tyrosine kinase with IC50 values of 0.879  $\mu$ M and 5.557  $\mu$ M, resp. Therefore, I and their pharmaceutical compns. have potential use in the production of an anti-angiogenic effect in a warm-blooded animal.

IT 857287-13-9P, Phenyl [3-[(4,6-diaminopyrimidin-5-yl)ethynyl]phenyl]carbamate 857287-53-7P, N-(3-tert-Butyl-1-methyl-1H-pyrazol-5-yl)-N'-[3-[[4-[[2-(morpholin-4-yl)ethyl]amino]pyrimidin-5-yl]ethynyl]phenyl]urea  
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)  
(inhibitor; preparation of aminopyrimidine derivs. as inhibitors of Tie2 receptor tyrosine kinases)

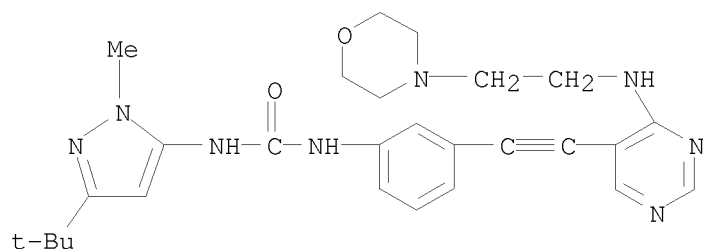
RN 857287-13-9 CAPLUS

CN Carbamic acid, [3-[(4,6-diamino-5-pyrimidinyl)ethynyl]phenyl]-, phenyl ester (9CI) (CA INDEX NAME)



RN 857287-53-7 CAPLUS

CN Urea, N-[3-(1,1-dimethylethyl)-1-methyl-1H-pyrazol-5-yl]-N'-[3-[2-[4-[[2-(4-morpholinyl)ethyl]amino]-5-pyrimidinyl]ethynyl]phenyl]- (CA INDEX NAME)



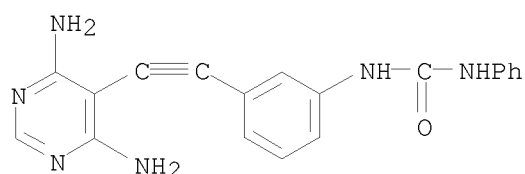
IT 857287-02-6P, N-[3-[(4,6-Diaminopyrimidin-5-yl)ethynyl]phenyl]-N'-phenylurea 857287-04-8P, 2-Phenyl-N-[3-[(4,6-diaminopyrimidin-5-yl)ethynyl]phenyl]acetamide 857287-05-9P, N-[3-[(4,6-Diaminopyrimidin-5-yl)ethynyl]phenyl]-N'-(3,4-dichlorophenyl)urea 857287-06-0P, N-[3-[(4,6-Diaminopyrimidin-5-yl)ethynyl]phenyl]-N'-[2-(trifluoromethyl)phenyl]urea 857287-07-1P, N-[3-[(4,6-Diaminopyrimidin-5-yl)ethynyl]phenyl]-N'-[3-(trifluoromethyl)phenyl]urea 857287-08-2P, N-[3-[(4,6-Diaminopyrimidin-5-yl)ethynyl]phenyl]-N'-[4-(trifluoromethyl)phenyl]urea 857287-09-3P, N-[4-[(4,6-Diaminopyrimidin-5-yl)ethynyl]phenyl]-N'-[2-fluoro-5-(trifluoromethyl)phenyl]urea 857287-10-6P, N-[3-[(4,6-Diaminopyrimidin-5-yl)ethynyl]phenyl]-N'-(3-methoxyphenyl)urea 857287-11-7P, Phenyl [4-[(4,6-diaminopyrimidin-5-yl)ethynyl]phenyl]carbamate 857287-14-0P, N-(5-tert-Butyl-1,3,4-thiadiazol-2-yl)-N'-[4-[(4,6-diaminopyrimidin-5-yl)ethynyl]phenyl]urea 857287-15-1P, N-[4-[(4,6-Diaminopyrimidin-5-yl)ethynyl]phenyl]-N'-(3-methylisothiazol-5-yl)urea 857287-16-2P, N-[4-[(4,6-Diaminopyrimidin-5-yl)ethynyl]phenyl]-N'-(3-methylisoxazol-5-yl)urea 857287-17-3P, N-[4-[(4,6-Diaminopyrimidin-5-yl)ethynyl]phenyl]-N'-[4-(trifluoromethyl)pyridin-2-yl]urea 857287-18-4P, N-[3-[[[4-[(4,6-Diaminopyrimidin-5-yl)ethynyl]phenyl]amino]carbonyl]amino]phenyl]acetamide 857287-19-5P, N-[3-[(4,6-Diaminopyrimidin-5-yl)ethynyl]phenyl]-N'-(3-methylisothiazol-5-yl)urea 857287-20-8P, N-[3-[[[3-[(4,6-Diaminopyrimidin-5-yl)ethynyl]phenyl]amino]carbonyl]amino]phenyl]acetamide 857287-21-9P, N-[3-[(4,6-Diaminopyrimidin-5-yl)ethynyl]phenyl]-N'-[4-(trifluoromethyl)pyridin-2-yl]urea 857287-22-0P, N-[3-[(4,6-Diaminopyrimidin-5-yl)ethynyl]phenyl]-N'-(3-methylisoxazol-5-yl)urea 857287-23-1P, N-(5-tert-Butyl-1,3,4-thiadiazol-2-yl)-N'-[3-[(4,6-diaminopyrimidin-5-yl)ethynyl]phenyl]urea 857287-24-2P, N-(5-tert-Butylisoxazol-3-yl)-N'-[3-[(4,6-diaminopyrimidin-5-yl)ethynyl]phenyl]urea 857287-25-3P, N-[3-[(4,6-Diaminopyrimidin-5-yl)ethynyl]phenyl]-N'-(2,3-dihydro-1,4-benzodioxin-6-yl)urea 857287-26-4P, N-[3-[(4,6-Diaminopyrimidin-5-yl)ethynyl]phenyl]-N'-[2-(morpholin-4-yl)phenyl]urea 857287-27-5P, N-[3-[(4,6-Diaminopyrimidin-5-yl)ethynyl]phenyl]-N'-(1-methylpiperidin-4-yl)urea 857287-28-6P, N-[3-[(4,6-

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 857287-37-7P, N-(5-tert-Butylisoxazol-3-yl)-N'-[3-[[4-[[2-  
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 857287-38-8P, N-(5-tert-Butylisoxazol-3-yl)-N'-[3-[[4-[[5-tert-  
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 857287-40-2P, N-(5-tert-Butylisoxazol-3-yl)-N'-[3-[[4-[[2-  
 hydroxyethyl]amino]pyrimidin-5-yl]ethynyl]phenyl]urea 857287-41-3P  
 , N-(5-tert-Butylisoxazol-3-yl)-N'-[3-[[4-[[2-(morpholin-4-  
 yl)ethyl]amino]pyrimidin-5-yl]ethynyl]phenyl]urea 857287-42-4P,  
 N-[3-[[4-[[4-Aminobutyl]amino]pyrimidin-5-yl]ethynyl]phenyl]-N'-(5-tert-  
 butylisoxazol-3-yl)urea 857287-43-5P, N-(5-tert-Butylisoxazol-3-  
 yl)-N'-[3-[[4-[[3-(pyrrolidin-1-yl)propyl]amino]pyrimidin-5-  
 yl]ethynyl]phenyl]urea 857287-44-6P, N-(5-tert-Butylisoxazol-3-  
 yl)-N'-[3-[[4-[[2,4-dimethoxybenzyl]amino]pyrimidin-5-  
 yl]ethynyl]phenyl]urea 857287-45-7P, N-[3-[[4-[[2-  
 Aminoethyl]amino]pyrimidin-5-yl]ethynyl]phenyl]-N'-(5-tert-butylisoxazol-3-  
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 857287-47-9P, N-(5-tert-Butylisoxazol-3-yl)-N'-[3-[[4-[[4-  
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 857287-48-0P, N-(5-tert-Butylisoxazol-3-yl)-N'-[3-[[4-[N-[2-  
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 857287-49-1P, N-(5-tert-Butylisoxazol-3-yl)-N'-[3-[[4-[[2-  
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 857287-51-5P, N-(5-tert-Butylisoxazol-3-yl)-N'-[3-[[4-[[3-  
 (piperidin-1-yl)propyl]amino]pyrimidin-5-yl]ethynyl]phenyl]urea  
 857287-52-6P, N-(5-tert-Butylisoxazol-3-yl)-N'-[3-[[4-[[3-(4-  
 methylpiperazin-1-yl)propyl]amino]pyrimidin-5-yl]ethynyl]phenyl]urea  
 857287-57-1P, N-[3-[(4,6-Diaminopyrimidin-5-yl)ethynyl]phenyl]-N'-  
 (2,3-dihydro-1H-inden-1-yl)urea  
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU  
 (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES  
 (Uses)

(inhibitor; preparation of aminopyrimidine derivs. as inhibitors of Tie2  
 receptor tyrosine kinases)

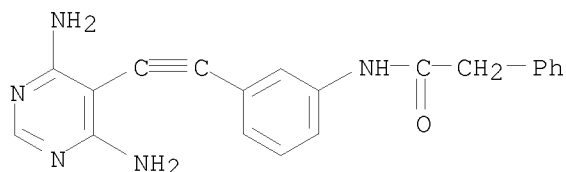
RN 857287-02-6 CAPLUS

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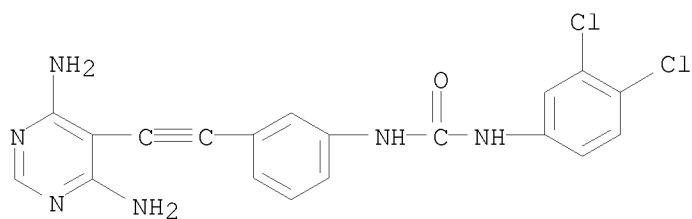




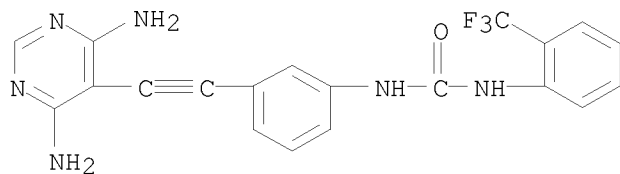
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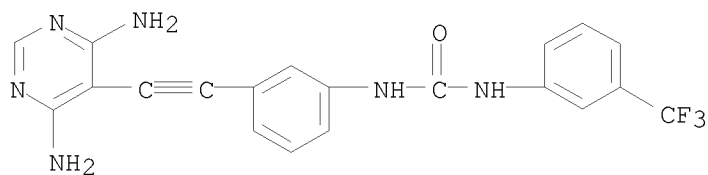
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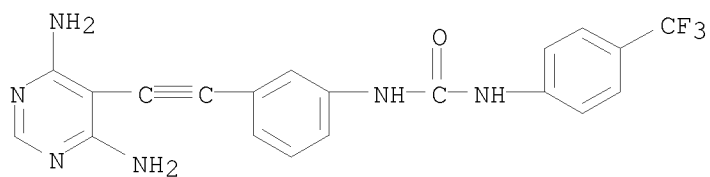
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RN 857287-07-1 CAPLUS  
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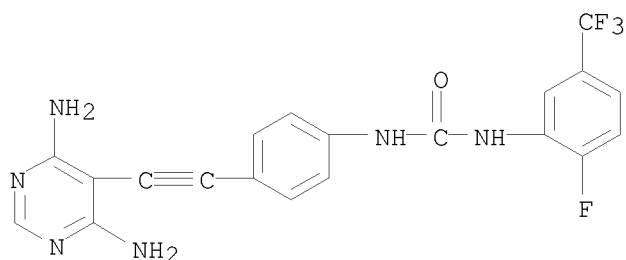


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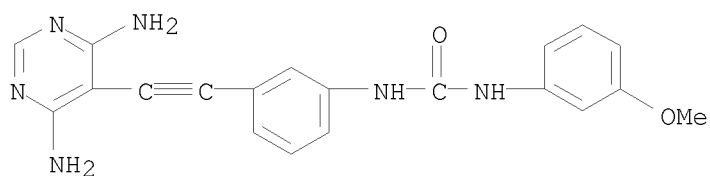
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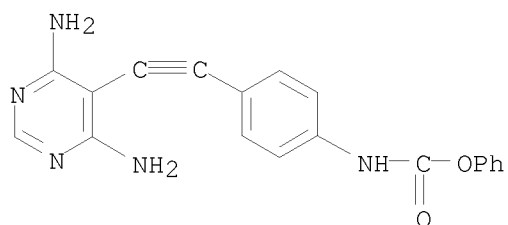
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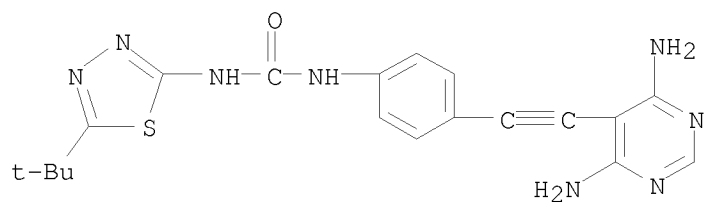
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CN Carbamic acid, [4-[(4,6-diamino-5-pyrimidinyl)ethynyl]phenyl]-, phenyl ester (9CI) (CA INDEX NAME)



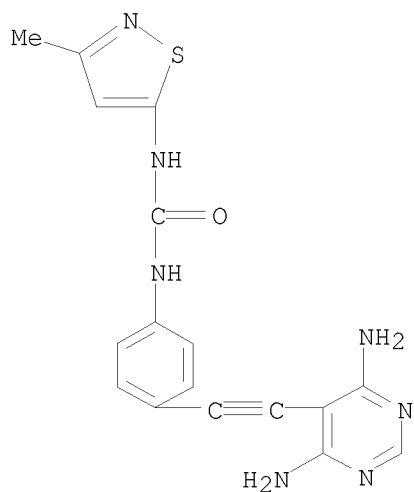
RN 857287-14-0 CAPLUS

CN Urea, N-[4-[2-(4,6-diamino-5-pyrimidinyl)ethynyl]phenyl]-N'-[5-(1,1-dimethylethyl)-1,3,4-thiadiazol-2-yl]- (CA INDEX NAME)



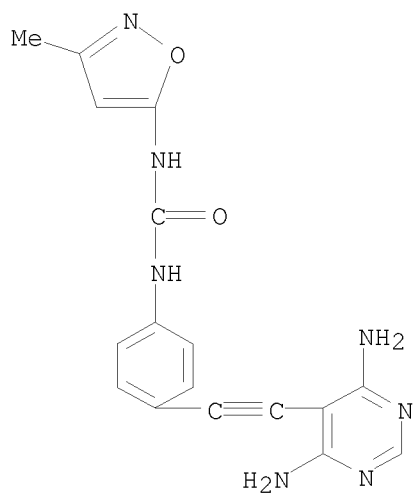
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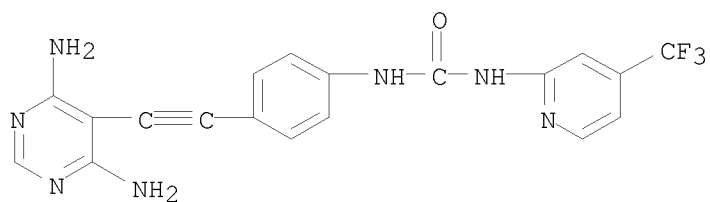
RN 857287-16-2 CAPLUS

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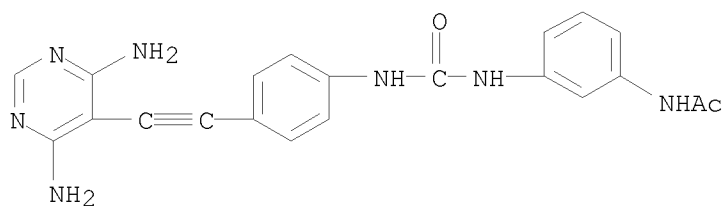
RN 857287-17-3 CAPLUS

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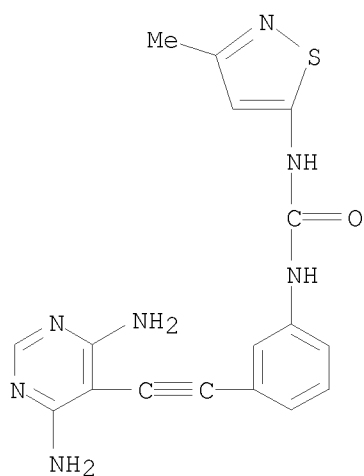
RN 857287-18-4 CAPLUS

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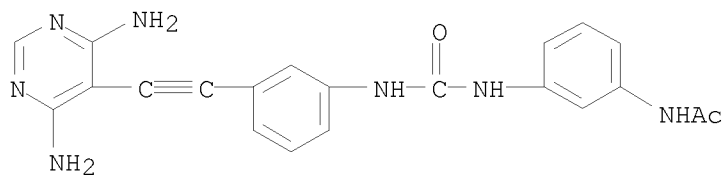
RN 857287-19-5 CAPLUS

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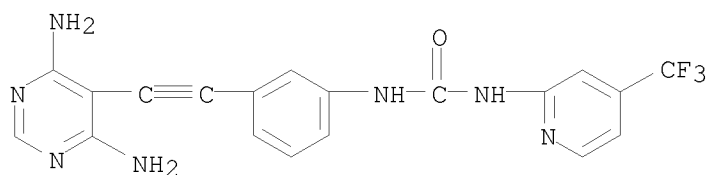
RN 857287-20-8 CAPLUS

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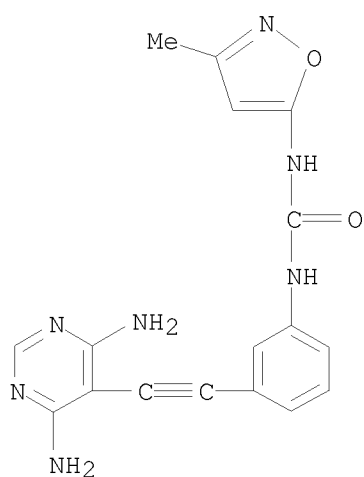


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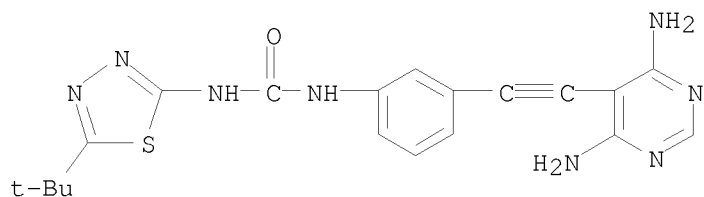
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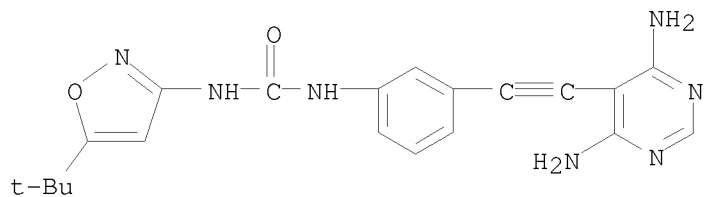
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 CN Urea, N-[3-[2-(4,6-diamino-5-pyrimidinyl)ethynyl]phenyl]-N'-(3-methyl-5-isoxazolyl)- (CA INDEX NAME)



RN 857287-23-1 CAPLUS  
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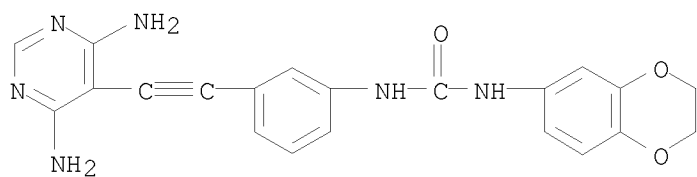


RN 857287-24-2 CAPLUS  
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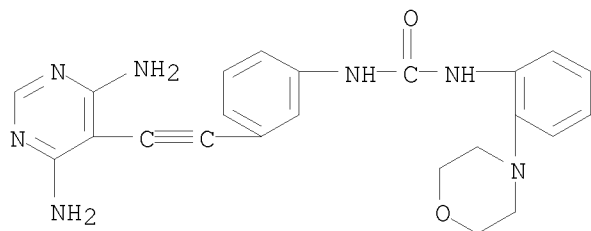
RN 857287-25-3 CAPLUS

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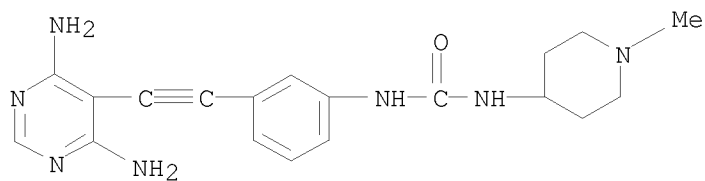
RN 857287-26-4 CAPLUS

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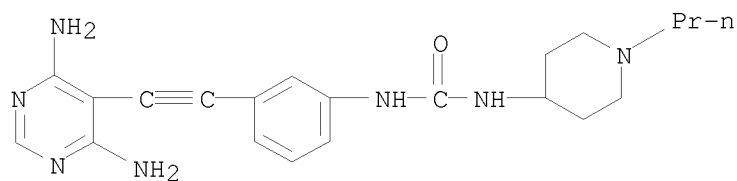
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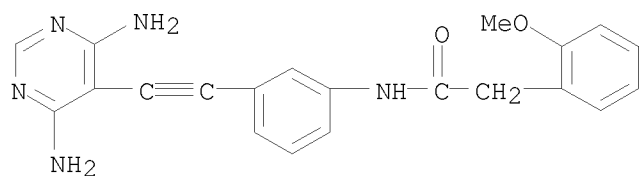
RN 857287-28-6 CAPLUS

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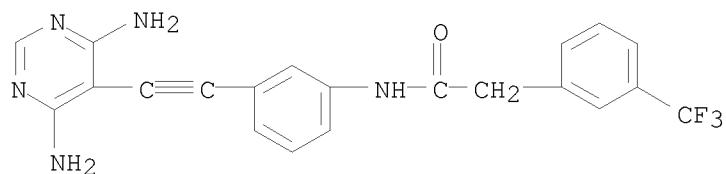
RN 857287-29-7 CAPLUS

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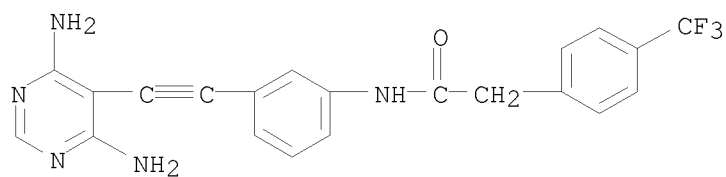
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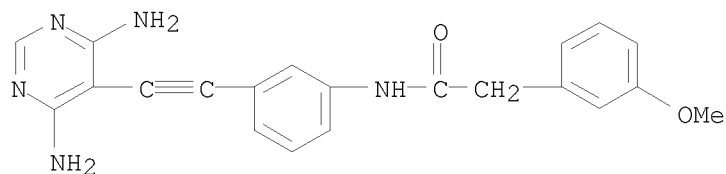
RN 857287-31-1 CAPLUS

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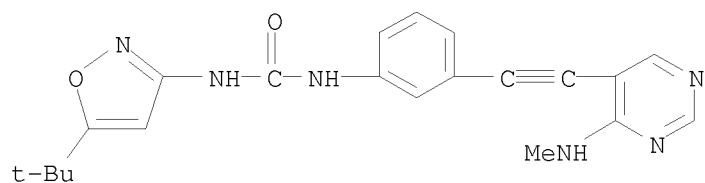
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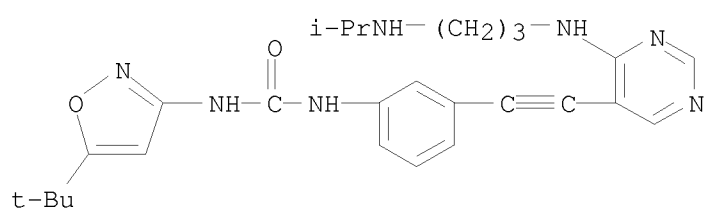
RN 857287-35-5 CAPLUS

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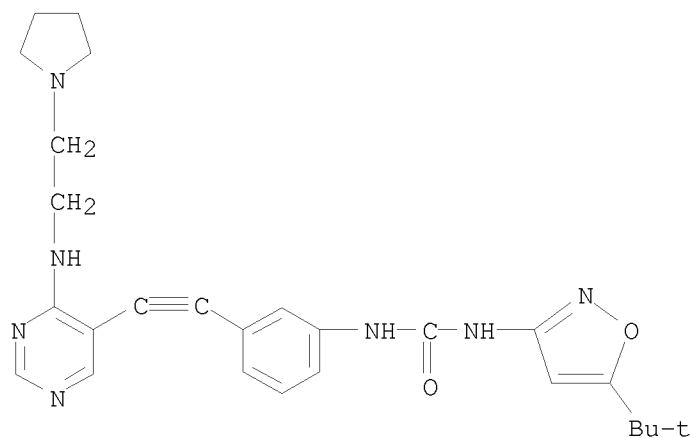
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CN Urea, N-[5-(1,1-dimethylethyl)-3-isoxazolyl]-N'-[3-[2-[4-[[3-[(1-methylethyl)amino]propyl]amino]-5-pyrimidinyl]ethynyl]phenyl]- (CA INDEX NAME)



RN 857287-37-7 CAPLUS

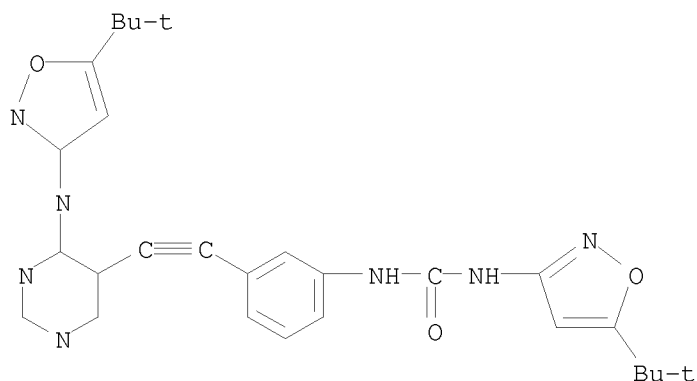
CN Urea, N-[5-(1,1-dimethylethyl)-3-isoxazolyl]-N'-[3-[2-[4-[[2-(1-pyrrolidinyl)ethyl]amino]-5-pyrimidinyl]ethynyl]phenyl]- (CA INDEX NAME)



RN 857287-38-8 CAPLUS

CN Urea, N-[5-(1,1-dimethylethyl)-3-isoxazolyl]-N'-[3-[2-[4-[[5-(1,1-dimethylethyl)-3-isoxazolyl]amino]-5-pyrimidinyl]ethynyl]phenyl]- (CA INDEX NAME)

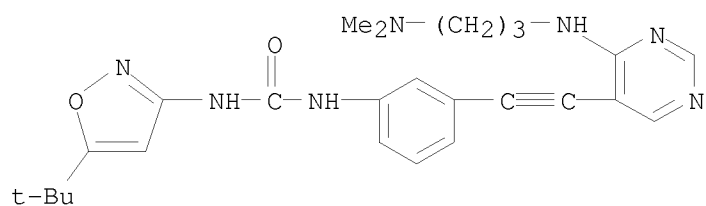




ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

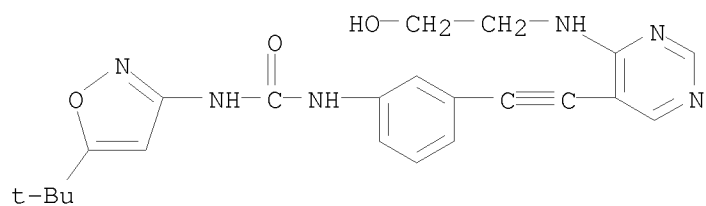
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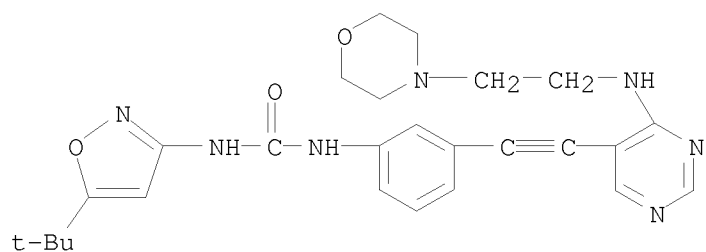
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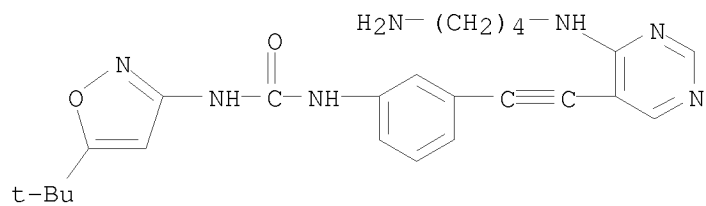
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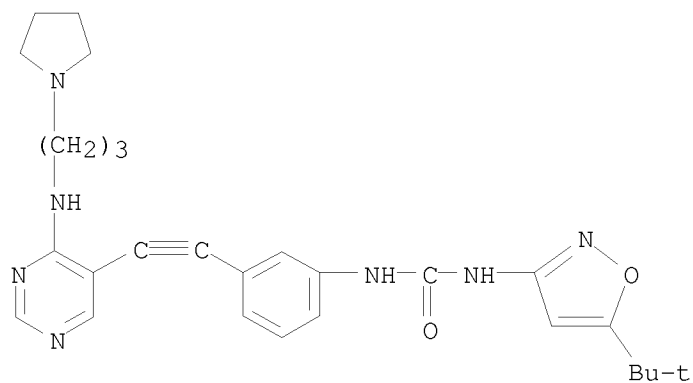
RN 857287-42-4 CAPLUS

CN Urea, N-[3-[2-[4-[(4-aminobutyl)amino]-5-pyrimidinyl]ethynyl]phenyl]-N'-[5-(1,1-dimethylethyl)-3-isoxazolyl]- (CA INDEX NAME)



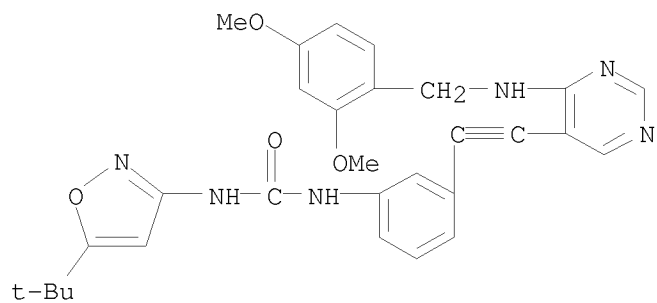
RN 857287-43-5 CAPLUS

CN Urea, N-[5-(1,1-dimethylethyl)-3-isoxazolyl]-N'-[3-[2-[4-[[3-(1-pyrrolidinyl)propyl]amino]-5-pyrimidinyl]ethynyl]phenyl]- (CA INDEX NAME)



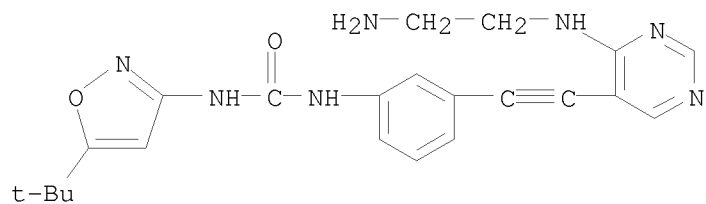
RN 857287-44-6 CAPLUS

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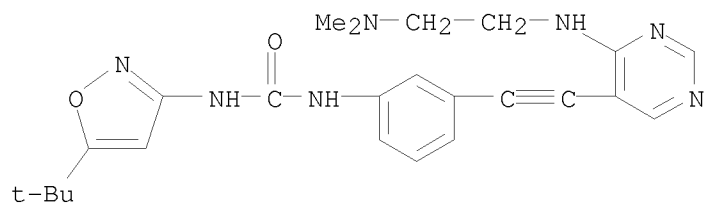


RN 857287-45-7 CAPLUS

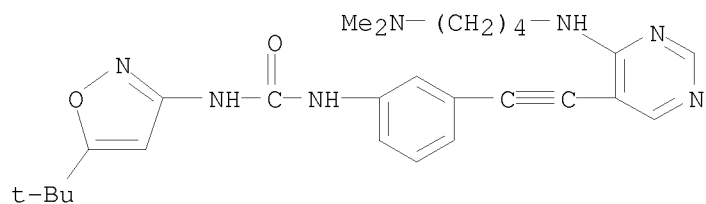
CN Urea, N-[3-[2-[4-[(2-aminoethyl)amino]-5-pyrimidinyl]ethynyl]phenyl]-N'-[5-(1,1-dimethylethyl)-3-isoxazolyl]- (CA INDEX NAME)



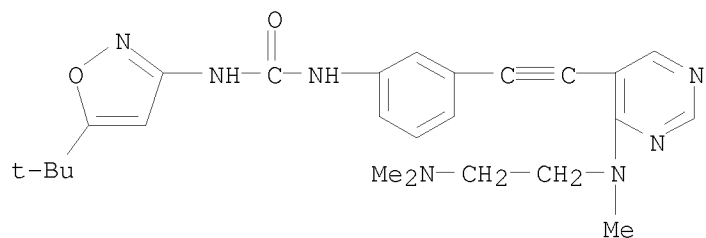
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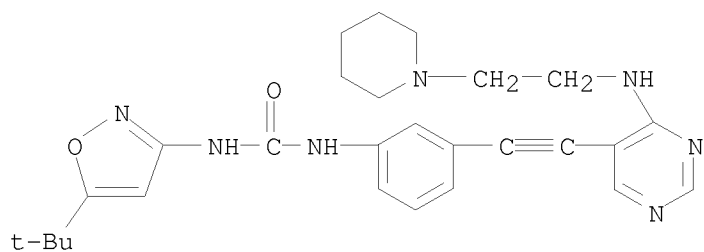
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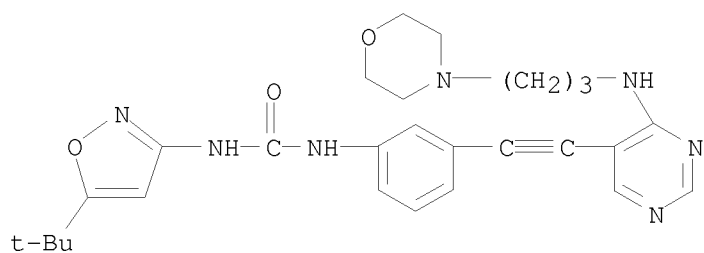
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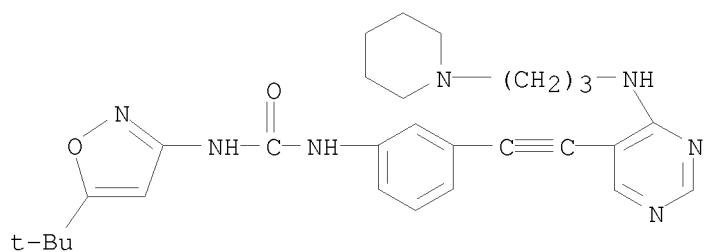
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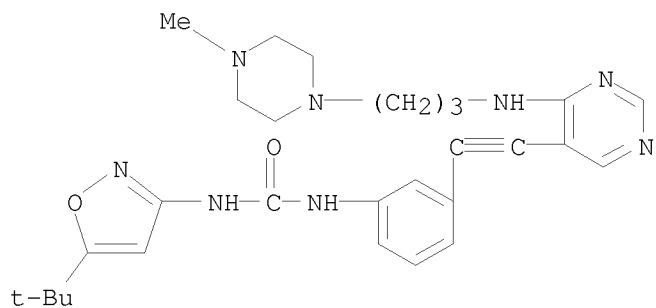
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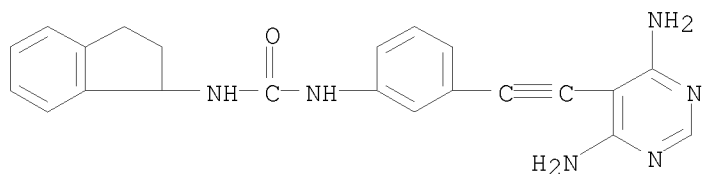
RN 857287-51-5 CAPLUS  
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RN 857287-52-6 CAPLUS  
 CN Urea, N-[5-(1,1-dimethylethyl)-3-isoxazolyl]-N'-[3-[2-[4-[[3-(4-methyl-1-piperazinyl)propyl]amino]-5-pyrimidinyl]ethynyl]phenyl]- (CA INDEX NAME)



RN 857287-57-1 CAPLUS  
CN Urea, N-[3-[2-(4,6-diamino-5-pyrimidinyl)ethynyl]phenyl]-N'-(2,3-dihydro-1H-inden-1-yl)- (CA INDEX NAME)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=>

---Logging off of STN---

=>

Executing the logoff script...

=> LOG Y

COST IN U.S. DOLLARS	SINCE FILE	TOTAL
	ENTRY	SESSION
FULL ESTIMATED COST	40.69	219.26
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE	TOTAL
	ENTRY	SESSION
CA SUBSCRIBER PRICE	-4.00	-4.00

STN INTERNATIONAL LOGOFF AT 10:24:40 ON 08 SEP 2008